

10/781,305

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NEWS 8 MAY 30 IPC 8 Rolled-up Core codes added to CA/CAPLUS and
USPATFULL/USPAT2
NEWS 9 MAY 30 The F-Term thesaurus is now available in CA/CAPLUS
NEWS 10 JUN 02 The first reclassification of IPC codes now complete in
INPADOC
NEWS 11 JUN 26 TULSA/TULSA2 reloaded and enhanced with new search and
and display fields
NEWS 12 JUN 28 Price changes in full-text patent databases EPFULL and PCTFULL
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NEWS 14 JUL 14 FSTA enhanced with Japanese patents
NEWS 15 JUL 19 Coverage of Research Disclosure reinstated in DWPI
NEWS 16 AUG 09 INSPEC enhanced with 1898-1968 archive

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
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FILE 'HOME' ENTERED AT 11:25:08 ON 10 AUG 2006

=> file reg

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 11:25:24 ON 10 AUG 2006
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STRUCTURE FILE UPDATES: 9 AUG 2006 HIGHEST RN 900096-56-2
DICTIONARY FILE UPDATES: 9 AUG 2006 HIGHEST RN 900096-56-2

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TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

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predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
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<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10781305.str

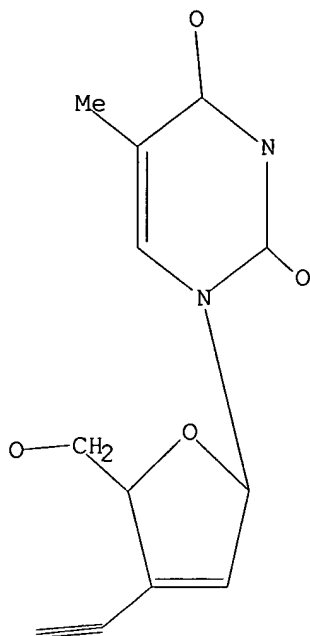
L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

10/781,305



- searched elected species
w/ ethynyl group in wrong position
- Should have been in 4' position, not
3' position - researched @ 4' position

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss sam

SAMPLE SEARCH INITIATED 11:25:41 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 13 TO ITERATE

100.0% PROCESSED 13 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 44 TO 476

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 11:25:46 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 271 TO ITERATE

100.0% PROCESSED 271 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

L3 2 SEA SSS FUL L1

=> d 13

L3 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN

RN 439598-62-6 REGISTRY

ED Entered STN: 19 Jul 2002

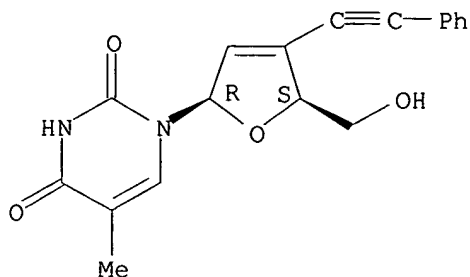
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-2,5-dihydro-5-(hydroxymethyl)-4-(phenylethynyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

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FS STEREOSEARCH
MF C18 H16 N2 O4
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

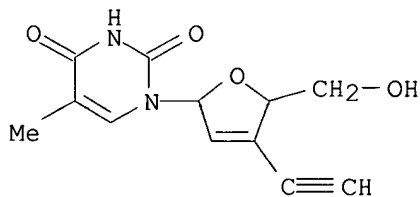


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> d 13 2

L3 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN
RN 128201-28-5 REGISTRY
ED Entered STN: 13 Jul 1990
CN Thymidine, 2',3'-didehydro-3'-deoxy-3'-ethynyl- (9CI) (CA INDEX NAME)
MF C12 H12 N2 O4
SR CA
LC STN Files: CA, CAPLUS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file hcaplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

170.74

170.95

FILE 'HCAPLUS' ENTERED AT 11:26:11 ON 10 AUG 2006

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FILE COVERS 1907 - 10 Aug 2006 VOL 145 ISS 7
FILE LAST UPDATED: 9 Aug 2006 (20060809/ED)

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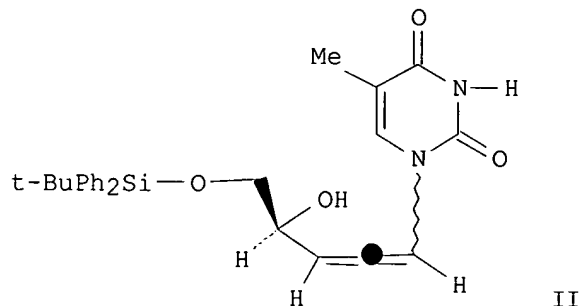
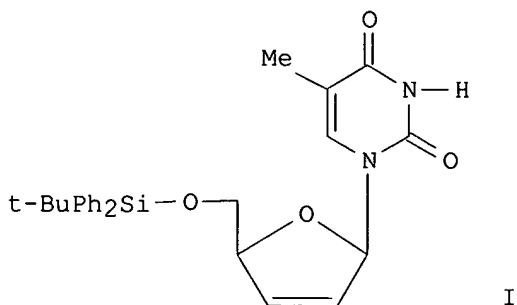
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 2 L3

=> d bib abs hitstr 1-2 14

L4 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:312323 HCAPLUS
DN 137:63412
TI Simple Entry to 3'-Substituted Analogues of Anti-HIV Agent Stavudine Based on an Anionic O → C Stannyl Migration
AU Kumamoto, Hiroki; Tanaka, Hiromichi
CS School of Pharmaceutical Sciences, Showa University, Shinagawa-ku, Tokyo, 142-8555, Japan
SO Journal of Organic Chemistry (2002), 67(11), 3541-3547
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
OS CASREACT 137:63412
GI



AB Reaction of 5'-O-protected derivs. of the anti-HIV agent stavudine (d4T) with LTMP was investigated with the aim to lithiate the vinylic hydrogens (H-3' and H-2'). When the lithiation of the 5'-O-tert-butyldiphenylsilyl derivative I was carried out in the presence of HMPA, an anionic silyl migration took place to give the 3'-C-silylated product II. The stannyl version of this reaction was found to be also possible, which has disclosed a highly simple entry to the d4T analogs variously substituted at the 3'-position by manipulating the 3'-C-stannyl d4T as a common intermediate.

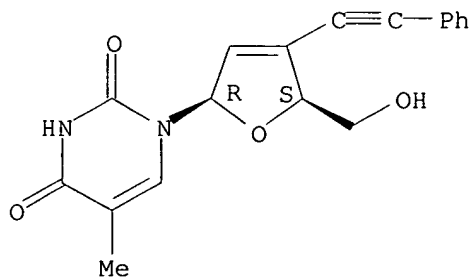
IT 439598-62-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of anti-HIV agent stavudine analogs based on lithiation and anionic stannyl migration)

RN 439598-62-6 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-2,5-dihydro-5-(hydroxymethyl)-4-(phenylethynyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

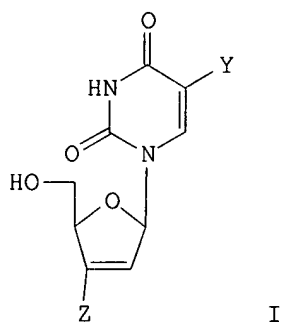


RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/781,305

L4 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1990:459782 HCAPLUS
DN 113:59782
TI Preparation of pyrimidine nucleoside analogs as antivirals
IN Lee, Moses Nam Fong
PA Can.
SO Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 348170	A2	19891227	EP 1989-306259	19890621
	EP 348170	A3	19901205		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 02104586	A2	19900417	JP 1989-156925	19890621
PRAI	US 1988-209570	A	19880621		
OS	MARPAT 113:59782				
GI					

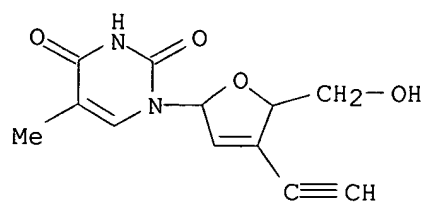


AB The title compds. (I; Y = H, alkyl; Z = azido, triazolyl, cyano, etc.) were prepared 1-(2',3'-Epoxy-5'-O-trityl- β -D-lyxofuranosyl)thymine (preparation given) was treated with NaN₃ and the resulting 1-(3'-azido-3'-deoxy-5'-tritylarabinosyl)thymine esterified with MeSO₂Cl to give, after elimination reaction in EtOH containing NaOEt and deprotection, I (Y = Me, Z = azido) (II). In a test where T4 lymphocytes exposed to HIV were incubated at 37° in a 5% CO₂ atmosphere for 6-7 days, II showed an IC₅₀ of >100 μ g/mL.

IT 128201-28-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as antiviral)

RN 128201-28-5 HCAPLUS
CN Thymidine, 2',3'-didehydro-3'-deoxy-3'-ethynyl- (9CI) (CA INDEX NAME)

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elucid species

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LOGINID:sssptal600txm

PASSWORD:

* * * * * RECONNECTED TO STN INTERNATIONAL * * * * *
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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	17.81	188.76

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.50	-1.50

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	17.81	188.76

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.50	-1.50

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STRUCTURE FILE UPDATES: 9 AUG 2006 HIGHEST RN 900096-56-2
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=>

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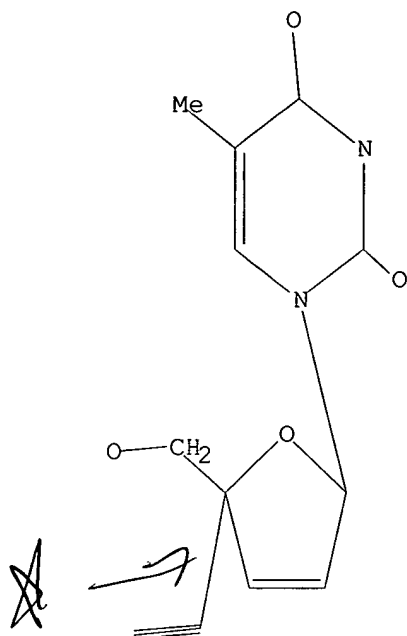
Uploading C:\Program Files\Stnexp\Queries\10781305a.str

L5 STRUCTURE UPLOADED

=> d 15

L5 HAS NO ANSWERS

L5 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 15 sss sam

SAMPLE SEARCH INITIATED 11:39:49 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED 7 ITERATIONS
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
PROJECTED ITERATIONS: 7 TO 298
PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

=> s 15 full

FULL SEARCH INITIATED 11:39:53 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 112 TO ITERATE

100.0% PROCESSED 112 ITERATIONS
SEARCH TIME: 00.00.01

10 ANSWERS

L7 10 SEA SSS FUL L5

McIntosh

10/781,305

=> file hcaplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

166.94

355.70

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

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-1.50

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FILE LAST UPDATED: 9 Aug 2006 (20060809/ED)

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=> s 17

L8 9 L7

=> d bib abs hitstr 1-9 18

L8 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2006:451111 HCAPLUS

DN 145:124802

TI Nucleophilic Substitution at the 4'-Position of Nucleosides: New Access to a Promising Anti-HIV Agent 2',3'-Didehydro-3'-deoxy-4'-ethynylthymidine

AU Haraguchi, Kazuhiro; Sumino, Masanori; Tanaka, Hiromichi

CS School of Pharmaceutical Sciences, Showa University, Tokyo, 142-8555, Japan

SO Journal of Organic Chemistry (2006), 71(12), 4433-4438

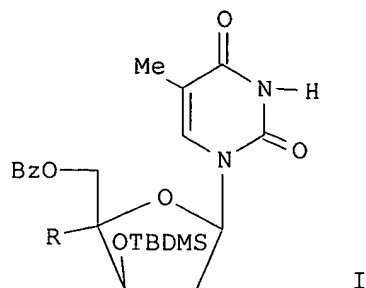
CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

GI



AB For the synthesis of 2',3'-didehydro-3'-deoxy-4'-ethynylthymidine (4'-Ed4T), a recently reported promising anti-HIV agent, a new approach was developed. Since treatment of 1-(2,5-dideoxy-β-L-glycero-pent-4-enofuranosyl)thymine with Pb(OBz)₄ allowed the introduction of the 4'-benzoyloxy leaving group, nucleophilic substitution at the 4'-position became feasible for the first time. Thus, reaction between the 4'-benzoyloxy derivative I (R = OBz) and Me₃SiC.tplbond.CAl(Et)Cl as a nucleophile led to the isolation of the desired 4'-"down"-ethynyl derivative I (R = C.tplbond.C-SiMe₃) stereoselectively in 62% yield. As an application of this approach, other 4'-substituted nucleosides, such as the 4'-allyl I (R = allyl) and 4'-cyano derivs., were synthesized using organosilicon reagents. In these instances, pre-treatment of I (R = OBz) with MeAlCl₂ was necessary.

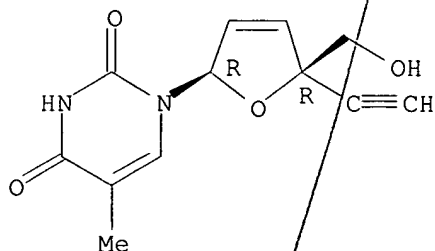
IT 634907-30-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(nucleophilic substitution at 4'-position of nucleosides as new access to promising HIV agent 2',3'-didehydro-3'-deoxy-4'-ethynylthymidine)

RN 634907-30-5 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:986427 HCAPLUS

DN 143:318373

TI 4'-Ethynylstavudine (4'-Ed4T) has potent anti-HIV-1 activity with reduced toxicity and shows a unique activity profile against drug-resistant mutants

AU Tanaka, Hiromichi; Haraguchi, Kazuhiro; Kumamoto, Hiroki; Baba, Masanori; Cheng, Yung-Chi

CS School of Pharmaceutical Sciences, Showa University, Tokyo, Japan

10/781,305

SO Antiviral Chemistry & Chemotherapy (2005), 16(4), 217-221

CODEN: ACCHEH; ISSN: 0956-3202

PB International Medical Press

DT Journal

LA English

AB A nucleoside analog 4'-ethynylstavudine (4'-Ed4T) was recently synthesized during chemical studies directed towards the development of a new route to 4'-carbon-substituted nucleosides. This compound was more anti-HIV-1 active than the parent compound stavudine (d4T) and much less toxic to various cells and also to mitochondrial DNA synthesis. It became apparent that 4'-Ed4T is a better substrate for human thymidine kinase than d4T, and very much more resistant to catabolism by thymidine phosphorylase. The study of 4'-Ed4T against various drug-resistant HIV-1 mutants has disclosed its unique activity profile.

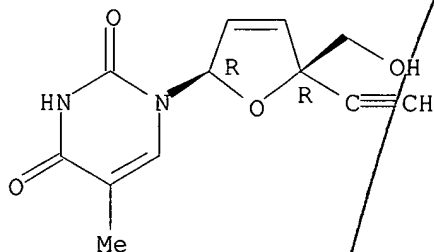
IT 634907-30-5

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (ethynylstavudine has potent anti-HIV-1 activity with reduced toxicity and shows unique activity profile against drug-resistant mutants)

RN 634907-30-5 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 717913-88-7

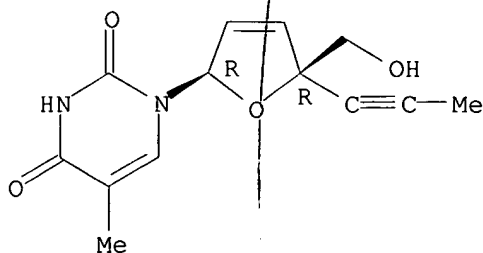
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(ethynylstavudine has potent anti-HIV-1 activity with reduced toxicity and shows unique activity profile against drug-resistant mutants)

RN 717913-88-7 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-2,5-dihydro-5-(1-propynyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD

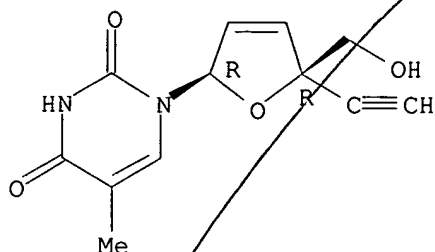
McIntosh

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:714283 HCAPLUS
DN 143:339014
TI Anti-human immunodeficiency virus type 1 activity and resistance profile
of 2',3'-didehydro-3'-deoxy-4'-ethynylthymidine in vitro
AU Nitanda, Takao; Wang, Xin; Kumamoto, Hiroki; Haraguchi, Kazuhiro; Tanaka,
Hiromichi; Cheng, Yung-Chi; Baba, Masanori
CS Division of Antiviral Chemotherapy, Center for Chronic Viral Diseases,
Graduate School of Medical and Dental Sciences, Kagoshima University,
Kagoshima, 890-8544, Japan
SO Antimicrobial Agents and Chemotherapy (2005), 49(8), 3355-3360
CODEN: AMACQ; ISSN: 0066-4804
PB American Society for Microbiology
DT Journal
LA English
AB 2',3'-Didehydro-3'-deoxy-4'-ethynylthymidine (4'-Ed4T) has been identified
as a novel nucleoside analog with potent and selective anti-human
immunodeficiency virus type 1 (HIV-1) activity and weak cytotoxicity in
cell cultures. 4'-Ed4T proved to be 5- to 10-fold more active than its
structurally related compound, stavudine (d4T). However, the drug
resistance profile of 4'-Ed4T was different from those of d4T and other
existing HIV-1 nucleoside reverse transcriptase inhibitors (NRTIs).
Approx. 6- to 11-fold decreases in susceptibility to 4'-Ed4T were observed
for HIV-1 carrying NRTI-associated mutations (D67N, K70R, T215F, and K219Q)
or the lamivudine (3TC)-resistant mutation M184V. In contrast, the
susceptibility of the virus carrying the K65R mutation or the
multidrug-resistant mutation with the Q151M complex (A62V, V75I, F77L,
F116Y, and Q151M) was not altered. Furthermore, the activity of 4'-Ed4T
appeared to be enhanced in the presence of K103N, a major nonnucleoside
reverse transcriptase inhibitor-resistant mutation. Although 4'-Ed4T was
4.5- to 17.5-fold less active against multidrug-resistant clin. isolates
than against a reference strain isolated from a treatment-naive patient, it was
still inhibitory to these isolates at low concns. Anal. of
4'-Ed4T-resistant HIV-1 obtained through in vitro selection revealed that
the virus was also resistant to 3TC and had two amino acid mutations
(P119S and T165A) in addition to the M184V mutation. Since 4'-Ed4T has
increased anti-HIV-1 activity, decreased cytotoxicity, and a different
resistance profile, it should be considered for further development as a
new member of NRTIs.
IT 634907-30-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(anti-HIV1 activity and resistance profile of
didehydrodeoxyethynylthymidine in vitro)
RN 634907-30-5 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-2,5-dihydro-5-
(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

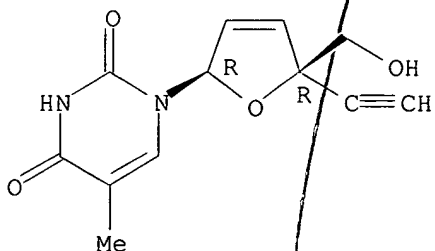
10/781,305



RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:351348 HCAPLUS
DN 144:51794
TI Synthesis of (±)-4'-ethynyl and 4'-cyano carbocyclic analogs of stavudine (d4T)
AU Kumamoto, Hiroki; Haraguchi, Kazuhiro; Tanaka, Hironichi; Nitanda, Takao; Baba, Masanori; Dutschman, Ginger E.; Cheng, Yung-Chi; Kato, Keisuke
CS Pharmaceutical Sciences, Showa University, Tokyo, Japan
SO Nucleosides, Nucleotides & Nucleic Acids (2005), 24(2), 73-83
CODEN: NNAFY; ISSN: 1525-7770
PB Taylor & Francis, Inc.
DT Journal
LA English
OS CASREACT 144:51794
AB The synthesis of (±)-4'-ethynyl (I) and 4'-cyano (II) carbocyclic analogs of the anti-HIV agent stavudine (d4T) is reported. The carbocyclic unit was constructed from readily available β-keto ester. The ethynyl or cyano group of I and II were prepared, after the introduction of thymine base, by manipulation of the ester function. Evaluation of the anti-HIV activity of I and II was also carried out, but ultimately did not inhibit the virus.
IT 634907-30-5
RL: PAC (Pharmacological activity); BIOL (Biological study)
(synthesis and anti-HIV activity of (±)-4'-ethynyl and 4'-cyano carbocyclic nucleoside stavudine analogs)
RN 634907-30-5 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

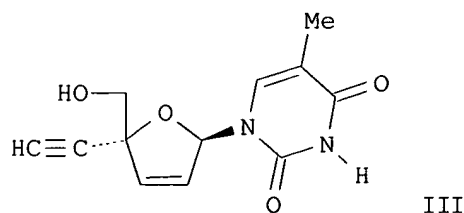
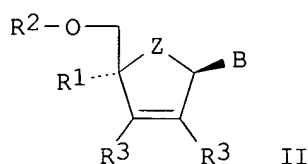
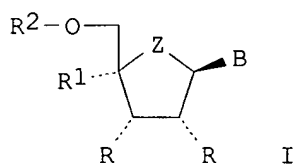
McIntosh

10/781,305

L8 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:701799 HCAPLUS
DN 141:225774
TI Preparation of 2',3'-dideoxy and 2',3'-didehydro nucleoside analogs as
prodrugs for treating viral infections, most notably HIV
IN Cheng, Yung-chi; Tanaka, Hiromichi; Baba, Masanori
PA USA
SO U.S. Pat. Appl. Publ., 45 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

my app ✓

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004167096	A1	20040826	US 2004-781305	20040218
	AU 2004260630	A1	20050210	AU 2004-260630	20040218
	CA 2514466	AA	20050210	CA 2004-2514466	20040218
	WO 2005011709	A1	20050210	WO 2004-US4713	20040218
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	BR 2004007374	A	20060110	BR 2004-7374	20040218
	EP 1653976	A1	20060510	EP 2004-775776	20040218
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	CN 1777432	A	20060524	CN 2004-80010529	20040218
PRAI	US 2003-448554P	P	20030219		
	WO 2004-US4713	W	20040218		
OS	CASREACT 141:225774; MARPAT 141:225774				
GI					



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AB Nucleosides I, wherein B is nucleobase; Z is O or CH₂; R is H, OH, halo, alkyl substituents; R₁ can be H, Me, alkenyl, alkynyl; R₂ is H, acyl, alkyl, ether, phosphoethers; and 2',3'-didehydro nucleosides II where Z is O; and R₃ can alkyl, alkenyl, alkynyl, halo, hydroxy, were prepared as prodrugs and antiviral agents. Thus, the synthesized 2',3'-dideoxy and didehydro nucleoside analogs were tested as potential antiviral, anti-HIV and anti-infective prodrugs as independent agents, or in combination with other agents. Specifically, didehydro nucleoside III was prepared and tested in vitro as potent anti-HIV-1 agent (EC₅₀ = 0.25 ± 0.14) and as well less toxic (ID₅₀ >256) as D4T, therefor has the potential as a new anti-HIV drug.

IT 634907-30-5P 717913-88-7P 717913-89-8P

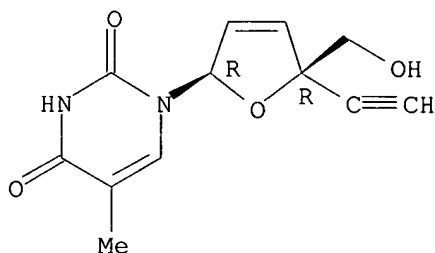
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of 2',3'-dideoxy and didehydro nucleoside analog and their evaluation as antiviral, anti-HIV and anti-infective prodrugs)

RN 634907-30-5 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

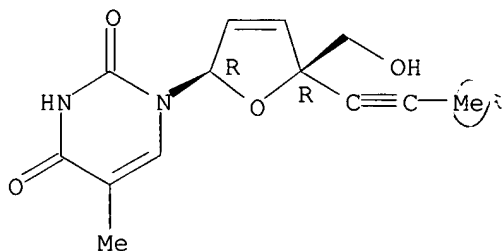
Absolute stereochemistry.



RN 717913-88-7 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-2,5-dihydro-5-(hydroxymethyl)-5-(1-propynyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



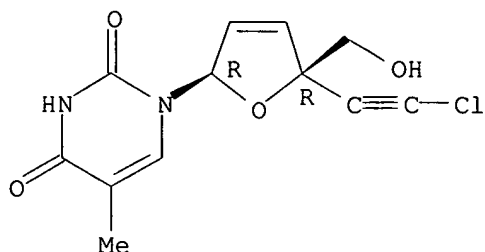
RN 717913-89-8 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-(chloroethynyl)-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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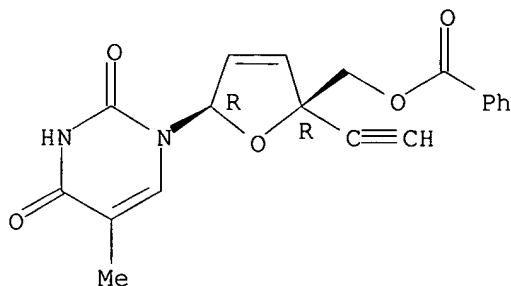
IT 744217-17-2P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of 2',3'-dideoxy and didehydro nucleoside analog and their evaluation as antiviral, anti-HIV and anti-infective prodrugs)

RN 744217-17-2 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-[(benzoyloxy)methyl]-5-ethynyl-2,5-dihydro-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:641088 HCAPLUS

DN 141:296237

TI Synthesis of 3'-Fluoro-2',3'-dideoxy-2',3'-didehydro-4'-ethynyl-D- and -L-furanosyl Nucleosides

AU Chen, Xin; Zhou, Wen; Schinazi, Raymond F.; Chu, Chung K.

CS College of Pharmacy, University of Georgia, Athens, GA, 30602, USA

SO Journal of Organic Chemistry (2004), 69(18), 6034-6041

CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

OS CASREACT 141:296237

AB An efficient procedure has been developed for the synthesis of 3'-fluoro-2',3'-dideoxy-2',3'-didehydro-4'-ethynyl D- and L-furanosyl nucleosides starting from 2,3-O-isopropylidene-D-glyceraldehyde. The key intermediate 1-O-benzoyl-3E-fluoro-3,4-unsatd.-5,6-di(tert-butyltrimethylsilyloxy)-2-hexanone was obtained in nine steps with the overall yield of 22%. This α,β -unsatd. ketone was then treated with ethynylmagnesium bromide in a typical Grignard reaction procedure to afford two intermediates, which after deprotection, oxidation, and acetylation gave the corresponding 4-ethynyl-substituted D- and L-sugar moieties. A series of D- and L-pyrimidine and purine nucleosides were prepared by the coupling of the sugar moieties with various silyl-protected

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bases. The anomeric mixts. were obtained after condensation. After separation, the β -isomers were further deprotected to yield the target nucleosides. All the newly synthesized 4'-substituted nucleosides were tested for their activities against HIV, among which the D-adenine derivative showed moderate anti-HIV activity ($EC_{50} = 25.1 \mu M$) without significant cytotoxicity.

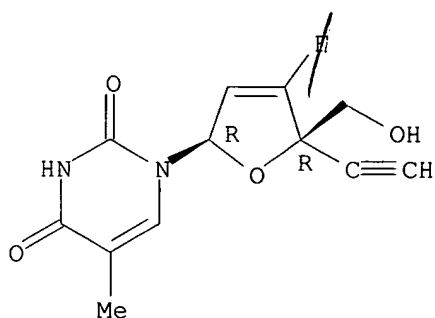
IT 765311-83-9P 765311-92-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antiviral activity of 3'-fluorodideoxydideohydro-4'-ethynyl-D- and L-furanosyl nucleosides)

RN 765311-83-9 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-4-fluoro-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

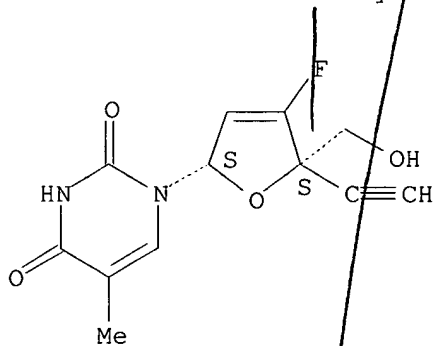
Absolute stereochemistry. Rotation (-).



RN 765311-92-0 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S,5S)-5-ethynyl-4-fluoro-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 765311-77-1P 765311-86-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and antiviral activity of 3'-fluorodideoxydideohydro-4'-ethynyl-D- and L-furanosyl nucleosides)

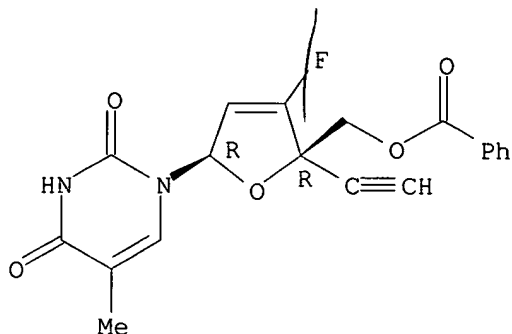
RN 765311-77-1 HCAPLUS

CN Thymidine, 2',3'-dideohydro-3'-deoxy-4'-C-ethynyl-3'-fluoro-, 5'-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

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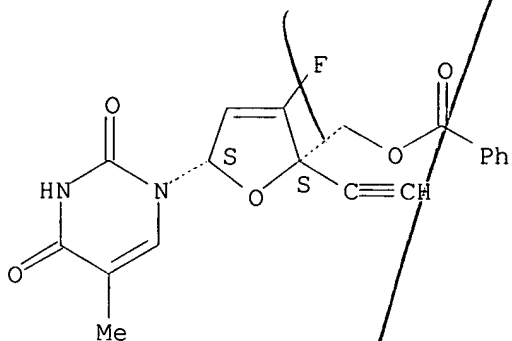
10/781,305



RN 765311-86-2 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S,5S)-5-[(benzoyloxy)methyl]-5-ethynyl-4-fluoro-2,5-dihydro-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



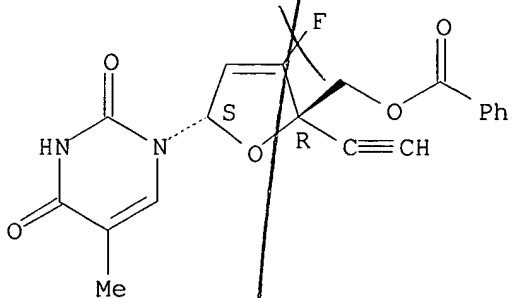
IT 765311-79-3P 765311-88-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and antiviral activity of 3'-fluorodideoxydidehydro-4'-ethynyl-D- and L-furanosyl nucleosides)

RN 765311-79-3 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S,5R)-5-[(benzoyloxy)methyl]-5-ethynyl-4-fluoro-2,5-dihydro-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



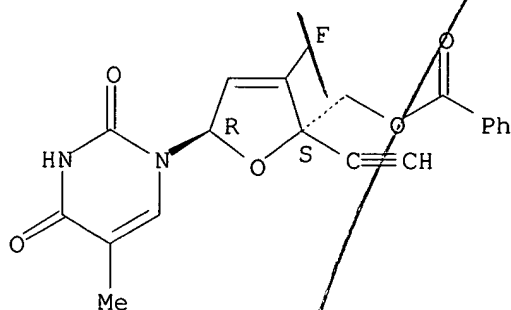
RN 765311-88-4 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-5-[(benzoyloxy)methyl]-5-ethynyl-4-fluoro-2,5-dihydro-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

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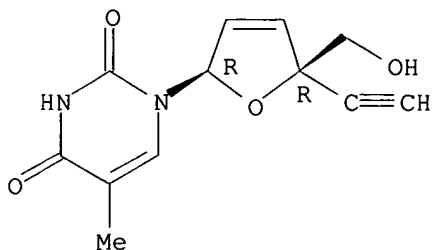
Absolute stereochemistry. Rotation (-).



RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:393067 HCAPLUS
DN 141:350346
TI Synthesis and Anti-HIV Activity of 4'-Cyano-2',3'-didehydro-3'-
deoxythymidine
AU Haraguchi, Kazuhiro; Itoh, Yoshiharu; Takeda, Shingo; Honma, Yosuke;
Tanaka, Hiromichi; Nitanda, Takao; Baba, Masanori; Dutschman, Ginger E.;
Cheng, Yung-Chi
CS School of Pharmaceutical Sciences, Showa University, Shinagawa-ku, Tokyo,
Japan
SO Nucleosides, Nucleotides & Nucleic Acids (2004), 23(4), 647-654
CODEN: NNNAFY; ISSN: 1525-7770
PB Marcel Dekker, Inc.
DT Journal
LA English
OS CASREACT 141:350346
AB A new anti-HIV agent 4'-cyano-2',3'-didehydro-3'-deoxythymidine was
synthesized by allylic substitution of the 3',4'-unsatd. nucleoside,
having a leaving group at the 2'-position, with cyanotrimethylsilane in
the presence of SnCl4. Evaluation of the anti-HIV activity showed that
this compound is much less potent than the recently reported
2',3'-didehydro-3'-deoxy-4'-(ethynyl)thymidine.
IT 634907-30-5
RL: PAC (Pharmacological activity); BIOL (Biological study)
(synthesis and anti-HIV activity of cyanodidehydrodeoxythymidine)
RN 634907-30-5 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-2,5-dihydro-5-
(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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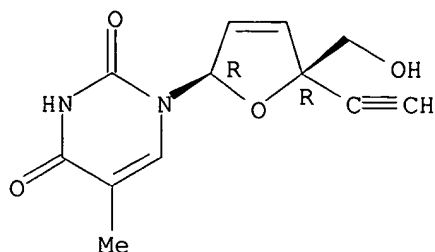
10/781,305

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:374658 HCAPLUS
DN 141:99075
TI Novel 4'-substituted stavudine analog with improved anti-human
 immunodeficiency virus activity and decreased cytotoxicity
AU Dutschman, Ginger E.; Grill, Susan P.; Gullen, Elizabeth A.; Haraguchi,
 Kazuhiro; Takeda, Shingo; Tanaka, Hiromichi; Baba, Masanori; Cheng,
 Yung-Chi
CS Department of Pharmacology, School of Medicine, Yale University, New
 Haven, CT, 06520, USA
SO Antimicrobial Agents and Chemotherapy (2004), 48(5), 1640-1646
 CODEN: AMACQ; ISSN: 0066-4804
PB American Society for Microbiology
DT Journal
LA English
AB The antiviral drug 2',3'-didehydro-3'-deoxythymidine (D4T; also know as
 stavudine and Zerit), which is used against human immunodeficiency virus
 (HIV), causes delayed toxicity (peripheral neuropathy) in long-term use.
 After examining a series of 2',3'-didehydro-3'-deoxy-4'-substituted thymidine
 (4'-substituted D4T) analogs, 4'-ethynyl D4T was found to have a fivefold
 better antiviral effect and to cause less cellular and mitochondrial
 toxicity than D4T. The antiviral activity of this compound can be reversed
 by dThd but not by dCyd. The compound acted synergistically with
 β -L-2',3'-deoxy-3'-thiacytidine (also known as lamivudine) and
 β -L-2',3'-dideoxy-2',3'-didehydro-5-fluorocytidine (also known as
 elvucitabine) and additively with 2',3'-dideoxyinosine (also known as
 didanosine and Videx) and 3'-azido-3'-deoxythymidine (also known as
 Retrovir and zidovudine) against HIV. 4'-Ethynyl D4T is phosphorylated by
 purified human thymidine kinase 1 (TK-1) from CEM cells with a faster
 relative Vmax and a lower Km value than D4T. The efficiency of TK-1 in
 the phosphorylation of 4'-ethynyl D4T is fourfold better than that of D4T.
 While D4T is broken down by the catabolic enzyme thymidine phosphorylase,
 the level of breakdown of 4'-ethynyl D4T was below detection. Since
 4'-ethynyl D4T has increased anti-HIV activity and decreased toxicity and
 interacts favorably with other currently used anti-HIV drugs, it should be
 considered for further development as an anti-HIV drug.
IT 634907-30-5 717913-88-7, 2',3'-Didehydro-3'-deoxy-4'-
 methylethynylthymidine 717913-89-8, 2',3'-Didehydro-3'-deoxy-4'-
 chloroethynylthymidine
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological
 activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (4'-substituted stavudine analog with improved anti-HIV activity and
 decreased cytotoxicity)
RN 634907-30-5 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-2,5-dihydro-5-
 (hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

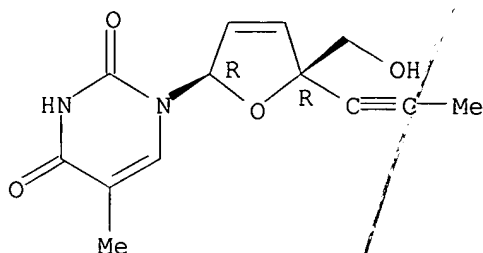
10/781,305



RN 717913-88-7 HCAPLUS

CN 2,4-(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-2,5-dihydro-5-(hydroxymethyl)-5-(1-propynyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

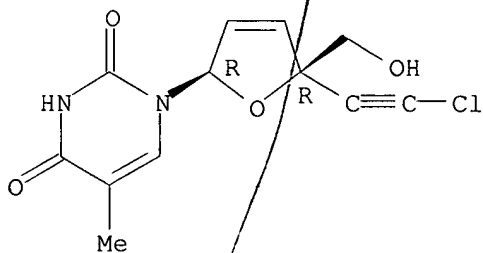
Absolute stereochemistry.



RN 717913-89-8 HCAPLUS

CN 2,4-(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-(chloroethynyl)-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:795099 HCAPLUS

DN 140:42413

TI Synthesis of a highly active new anti-HIV agent 2',3'-Didehydro-3'-deoxy-4'-ethynylthymidine

AU Haraguchi, Kazuhiro; Takeda, Shingo; Tanaka, Hiromichi; Nitanda, Takao; Baba, Masanori; Dutschman, G. E.; Cheng, Yung-Chi

CS School of Pharmaceutical Sciences, Showa University, 1-5-8 Hatanodai, Shinagawa-ku, Tokyo, 142-8555, Japan

SO Bioorganic & Medicinal Chemistry Letters (2003), 13(21), 3775-3777
CODEN: BMCLE8; ISSN: 0960-894X

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11/3/2003
bad date

10/781,305

PB Elsevier Science B.V.

DT Journal

LA English

OS CASREACT 140:42413

AB Compds. having Me, vinyl, and ethynyl groups at the 4'-position of stavudine (d4T: 2',3'-didehydro-3'-deoxythymidine) were synthesized. The compds. were assayed for their ability to inhibit the replication of HIV in cell culture. The 4'-ethynyl analog was found to be ten times more potent and less toxic than the parent compound stavudine.

IT 634907-30-5P

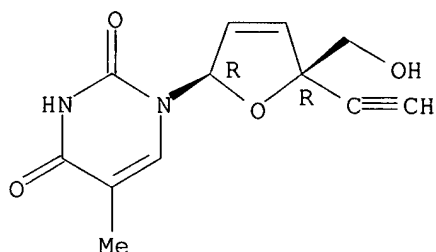
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and anti-HIV structure activity anal. of 2',3'-Didehydro-3'-deoxy-4'-ethynylthymidine analogs)

RN 634907-30-5 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

electd species is OK

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Welcome to STN International! Enter x:x

LOGINID:sssptal600txm

PASSWORD:

* * * * * RECONNECTED TO STN INTERNATIONAL * * * * *
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FILE 'HCAPLUS' ENTERED AT 12:03:52 ON 10 AUG 2006
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CA SUBSCRIBER PRICE	-6.75	-8.25

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	51.05	406.75

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.75	-8.25

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DICTIONARY FILE UPDATES: 9 AUG 2006 HIGHEST RN 900096-56-2

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<http://www.cas.org/ONLINE/UG/regprops.html>

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Search for
Genus of elected
group

10/781,305

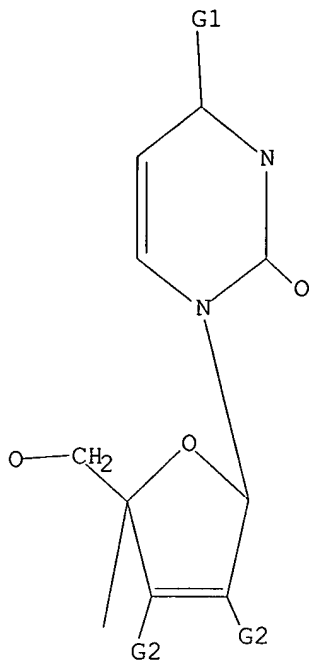
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L9 STRUCTURE UPLOADED

=> d 19

L9 HAS NO ANSWERS

L9 STR



G1 O, NH

G2 H, Cl, Br, F, I

Structure attributes must be viewed using STN Express query preparation.

=> s 19 sss sam

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SAMPLE SCREEN SEARCH COMPLETED - 60 TO ITERATE

100.0% PROCESSED 60 ITERATIONS

3 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 736 TO 1664

PROJECTED ANSWERS: 3 TO 163

L10 3 SEA SSS SAM L9

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L10 3 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN

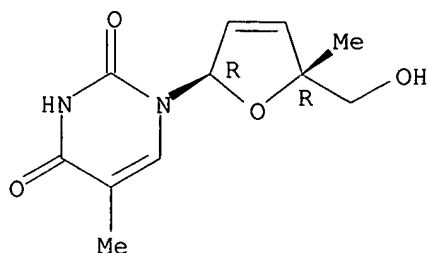
IN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-2,5-dihydro-5-(hydroxymethyl)-5-methyl-2-furanyl]-5-methyl- (9CI)

McIntosh

10/781,305

MF C11 H14 N2 O4

Absolute stereochemistry. Rotation (-).



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

The following are valid formats:

Substance information can be displayed by requesting individual fields or predefined formats. The predefined substance formats are: (RN = CAS Registry Number)

REG - RN
SAM - Index Name, MF, and structure - no RN
FIDE - All substance data, except sequence data
IDE - FIDE, but only 50 names
SQIDE - IDE, plus sequence data
SQIDE3 - Same as SQIDE, but 3-letter amino acid codes are used
SQD - Protein sequence data, includes RN
SQD3 - Same as SQD, but 3-letter amino acid codes are used
SQN - Protein sequence name information, includes RN

CALC - Table of calculated properties
EPROP - Table of experimental properties
PROP - EPROP and CALC

Any CA File format may be combined with any substance format to obtain CA references citing the substance. The substance formats must be cited first. The CA File predefined formats are:

ABS -- Abstract
APPS -- Application and Priority Information
BIB -- CA Accession Number, plus Bibliographic Data
CAN -- CA Accession Number
CBIB -- CA Accession Number, plus Bibliographic Data (compressed)
IND -- Index Data
IPC -- International Patent Classification
PATS -- PI, SO
STD -- BIB, IPC, and NCL

IABS -- ABS, indented, with text labels
IBIB -- BIB, indented, with text labels
ISTD -- STD format, indented

OBIB ----- AN, plus Bibliographic Data (original)

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> s l9 full

FULL SEARCH INITIATED 12:05:01 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1273 TO ITERATE

100.0% PROCESSED 1273 ITERATIONS 64 ANSWERS
SEARCH TIME: 00.00.01

L11 64 SEA SSS FUL L9

=> file hcaplus

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FULL ESTIMATED COST	167.38	574.13

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FILE LAST UPDATED: 9 Aug 2006 (20060809/ED)

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=> s l11

L12 24 L11

=> d bib abd hitstr 1-24 l12

'ABD' IS NOT A VALID FORMAT FOR FILE 'HCAPLUS'

The following are valid formats:

ABS ----- GI and AB
ALL ----- BIB, AB, IND, RE

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APPS ----- AI, PRAI
BIB ----- AN, plus Bibliographic Data and PI table (default)
CAN ----- List of CA abstract numbers without answer numbers
CBIB ----- AN, plus Compressed Bibliographic Data
CLASS ----- IPC, NCL, ECLA, FTERM
DALL ----- ALL, delimited (end of each field identified)
DMAX ----- MAX, delimited for post-processing
FAM ----- AN, PI and PRAI in table, plus Patent Family data
FBIB ----- AN, BIB, plus Patent FAM
IND ----- Indexing data
IPC ----- International Patent Classifications
MAX ----- ALL, plus Patent FAM, RE
PATS ----- PI, SO
SAM ----- CC, SX, TI, ST, IT
SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
SCAN must be entered on the same line as the DISPLAY,
e.g., D SCAN or DISPLAY SCAN)
STD ----- BIB, CLASS

IABS ----- ABS, indented with text labels
IALL ----- ALL, indented with text labels
IBIB ----- BIB, indented with text labels
IMAX ----- MAX, indented with text labels
ISTD ----- STD, indented with text labels

OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels

SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations

HIT ----- Fields containing hit terms
HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
containing hit terms
HITRN ----- HIT RN and its text modification
HITSTR ----- HIT RN, its text modification, its CA index name, and
its structure diagram
HITSEQ ----- HIT RN, its text modification, its CA index name, its
structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
structure diagram, plus NTE and SEQ fields
KWIC ----- Hit term plus 20 words on either side
OCC ----- Number of occurrence of hit term and field in which it occurs

To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of formats include: TI; TI,AU; BIB,ST; TI,IND; TI,SO. You may specify the format fields in any order and the information will be displayed in the same order as the format specification.

All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR, FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC to view a specified Accession Number.

ENTER DISPLAY FORMAT (BIB):cancel
'CANCEL' IS NOT A VALID FORMAT FOR FILE 'HCAPLUS'

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The following are valid formats:

ABS ----- GI and AB
ALL ----- BIB, AB, IND, RE
APPS ----- AI, PRAI
BIB ----- AN, plus Bibliographic Data and PI table (default)
CAN ----- List of CA abstract numbers without answer numbers
CBIB ----- AN, plus Compressed Bibliographic Data
CLASS ----- IPC, NCL, ECLA, FTERM
DALL ----- ALL, delimited (end of each field identified)
DMAX ----- MAX, delimited for post-processing
FAM ----- AN, PI and PRAI in table, plus Patent Family data
FBIB ----- AN, BIB, plus Patent FAM
IND ----- Indexing data
IPC ----- International Patent Classifications
MAX ----- ALL, plus Patent FAM, RE
PATS ----- PI, SO
SAM ----- CC, SX, TI, ST, IT
SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
SCAN must be entered on the same line as the DISPLAY,
e.g., D SCAN or DISPLAY SCAN)
STD ----- BIB, CLASS

IABS ----- ABS, indented with text labels
IALL ----- ALL, indented with text labels
IBIB ----- BIB, indented with text labels
IMAX ----- MAX, indented with text labels
ISTD ----- STD, indented with text labels

OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels

SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations

HIT ----- Fields containing hit terms
HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
containing hit terms
HITRN ----- HIT RN and its text modification
HITSTR ----- HIT RN, its text modification, its CA index name, and
its structure diagram
HITSEQ ----- HIT RN, its text modification, its CA index name, its
structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
structure diagram, plus NTE and SEQ fields
KWIC ----- Hit term plus 20 words on either side
OCC ----- Number of occurrence of hit term and field in which it occurs

To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of formats include: TI; TI,AU; BIB,ST; TI,IND; TI,SO. You may specify the format fields in any order and the information will be displayed in the same order as the format specification.

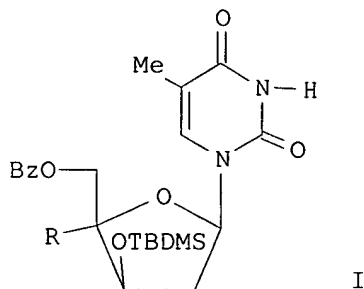
All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR, FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC

10/781,305

to view a specified Accession Number.
ENTER DISPLAY FORMAT (BIB):end

=> d bib abs hitstr 1-24 112

L12 ANSWER 1 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:451111 HCAPLUS
DN 145:124802
TI Nucleophilic Substitution at the 4'-Position of Nucleosides: New Access to
a Promising Anti-HIV Agent 2',3'-Didehydro-3'-deoxy-4'-ethynylthymidine
AU Haraguchi, Kazuhiro; Sumino, Masanori; Tanaka, Hiromichi
CS School of Pharmaceutical Sciences, Showa University, Tokyo, 142-8555,
Japan
SO Journal of Organic Chemistry (2006), 71(12), 4433-4438
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
GI



AB For the synthesis of 2',3'-didehydro-3'-deoxy-4'-ethynylthymidine (4'-Ed4T), a recently reported promising anti-HIV agent, a new approach was developed. Since treatment of 1-(2,5-dideoxy- β -L-glycero-pent-4-enofuranosyl)thymine with Pb(OBz)₄ allowed the introduction of the 4'-benzoyloxy leaving group, nucleophilic substitution at the 4'-position became feasible for the first time. Thus, reaction between the 4'-benzoyloxy derivative I (R = OBz) and Me₃SiC.tplbond.CAl(Et)Cl as a nucleophile led to the isolation of the desired 4'-"down"-ethynyl derivative I (R = C.tplbond.C-SiMe₃) stereoselectively in 62% yield. As an application of this approach, other 4'-substituted nucleosides, such as the 4'-allyl I (R = allyl) and 4'-cyano derivs., were synthesized using organosilicon reagents. In these instances, pre-treatment of I (R = OBz) with MeAlCl₂ was necessary.

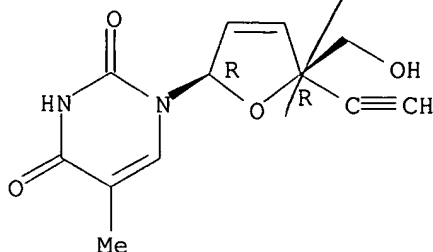
IT 634907-30-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(nucleophilic substitution at 4'-position of nucleosides as new access to promising HIV agent 2',3'-didehydro-3'-deoxy-4'-ethynylthymidine)

RN 634907-30-5 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

McIntosh

10/781,305



RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:986427 HCAPLUS

DN 143:318373

TI 4'-Ethynylstavudine (4'-Ed4T) has potent anti-HIV-1 activity with reduced toxicity and shows a unique activity profile against drug-resistant mutants

AU Tanaka, Hiromichi; Haraguchi, Kazuhiro; Kumamoto, Hiroki; Baba, Masanori; Cheng, Yung-Chi

CS School of Pharmaceutical Sciences, Showa University, Tokyo, Japan

SO Antiviral Chemistry & Chemotherapy (2005), 16(4), 217-221

CODEN: ACCHEH; ISSN: 0956-3202

PB International Medical Press

DT Journal

LA English

AB A nucleoside analog 4'-ethynylstavudine (4'-Ed4T) was recently synthesized during chemical studies directed towards the development of a new route to 4'-carbon-substituted nucleosides. This compound was more anti-HIV-1 active than the parent compound stavudine (d4T) and much less toxic to various cells and also to mitochondrial DNA synthesis. It became apparent that 4'-Ed4T is a better substrate for human thymidine kinase than d4T, and very much more resistant to catabolism by thymidine phosphorylase. The study of 4'-Ed4T against various drug-resistant HIV-1 mutants has disclosed its unique activity profile.

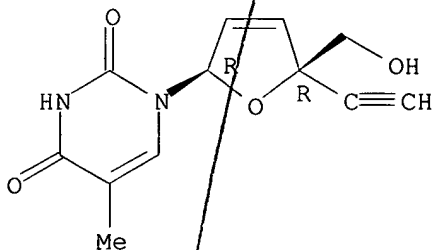
IT 634907-30-5

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (ethynylstavudine has potent anti-HIV-1 activity with reduced toxicity and shows unique activity profile against drug-resistant mutants)

RN 634907-30-5 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/781,305

IT 151989-82-1 634907-29-2 717913-88-7
717913-90-1 717913-91-2

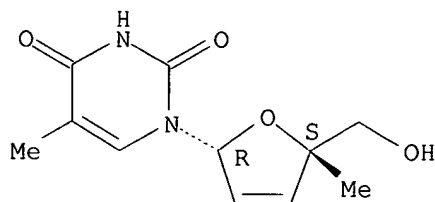
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)

(ethynylstavudine has potent anti-HIV-1 activity with reduced toxicity
and shows unique activity profile against drug-resistant mutants)

RN 151989-82-1 HCAPLUS

CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-C-methyl- (9CI) (CA INDEX NAME)

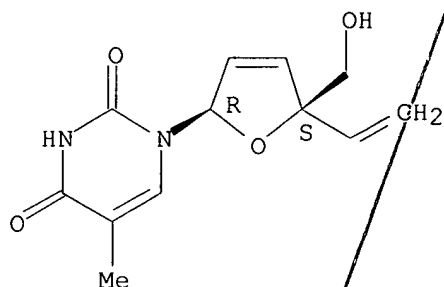
Absolute stereochemistry.



RN 634907-29-2 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-5-ethenyl-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

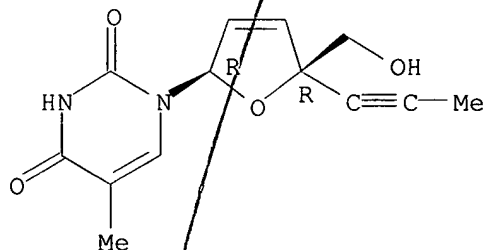
Absolute stereochemistry.



RN 717913-88-7 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-2,5-dihydro-5-(hydroxymethyl)-5-(1-propynyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

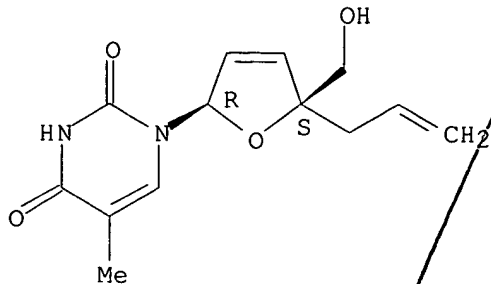


RN 717913-90-1 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-2,5-dihydro-5-(hydroxymethyl)-5-(2-propenyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

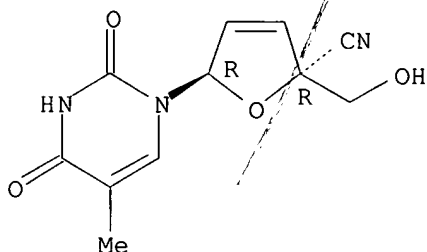
McIntosh

Absolute stereochemistry.



CN 2-Furancarbonitrile, 5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-
2,5-dihydro-2-(hydroxymethyl)-, (2R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 3 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN

DN 143:339014

AU Nitanda, Takao; Wang, Xin; Kumamoto, Hiroki; Haraguchi, Kazuhiro; Tanaka,
Hiromichi; Cheng, Yung-Chi; Baba, Masanori

SO Antimicrobial Agents and Chemotherapy (2005), 49(8), 3355-3360
CODEN: AMACCO; ISSN: 0066-4804

PB American Society for Microbiology

DT Journal

LA English

AB 2',3'-Didehydro-3'-deoxy-4'-ethynylthymidine (4'-Ed4T) has been identified as a novel nucleoside analog with potent and selective anti-human immunodeficiency virus type 1 (HIV-1) activity and weak cytotoxicity in cell cultures. 4'-Ed4T proved to be 5- to 10-fold more active than its structurally related compound, stavudine (d4T). However, the drug resistance profile of 4'-Ed4T was different from those of d4T and other existing HIV-1 nucleoside reverse transcriptase inhibitors (NRTIs). Approx. 6- to 11-fold decreases in susceptibility to 4'-Ed4T were observed for HIV-1 carrying NRTI-associated mutations (D67N, K70R, T215F, and K219Q) or the lamivudine (3TC)-resistant mutation M184V. In contrast, the

susceptibility of the virus carrying the K65R mutation or the multidrug-resistant mutation with the Q151M complex (A62V, V75I, F77L, F116Y, and Q151M) was not altered. Furthermore, the activity of 4'-Ed4T appeared to be enhanced in the presence of K103N, a major nonnucleoside reverse transcriptase inhibitor-resistant mutation. Although 4'-Ed4T was 4.5- to 17.5-fold less active against multidrug-resistant clin. isolates than against a reference strain isolated from a treatment-naive patient, it was still inhibitory to these isolates at low concns. Anal. of 4'-Ed4T-resistant HIV-1 obtained through in vitro selection revealed that the virus was also resistant to 3TC and had two amino acid mutations (P119S and T165A) in addition to the M184V mutation. Since 4'-Ed4T has increased anti-HIV-1 activity, decreased cytotoxicity, and a different resistance profile, it should be considered for further development as a new member of NRTIs.

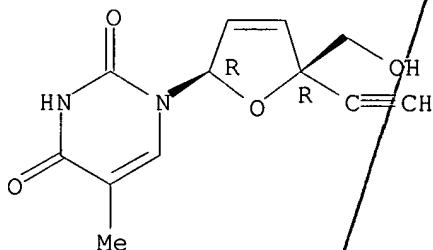
IT 634907-30-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anti-HIV1 activity and resistance profile of didehydrodeoxyethynylthymidine in vitro)

RN 634907-30-5 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:351348 HCAPLUS

DN 144:51794

TI Synthesis of (±)-4'-ethynyl and 4'-cyano carbocyclic analogs of stavudine (d4T)

AU Kumamoto, Hiroki; Haraguchi, Kazuhiro; Tanaka, Hiromichi; Nitanda, Takao; Baba, Masanori; Dutschman, Ginger E.; Cheng, Yung-Chi; Kato, Keisuke

CS Pharmaceutical Sciences, Showa University, Tokyo, Japan

SO Nucleosides, Nucleotides & Nucleic Acids (2005), 24(2), 73-83

CODEN: NNNAFY; ISSN: 1525-7770

PB Taylor & Francis, Inc.

DT Journal

LA English

OS CASREACT 144:51794

AB The synthesis of (±)-4'-ethynyl (I) and 4'-cyano (II) carbocyclic analogs of the anti-HIV agent stavudine (d4T) is reported. The carbocyclic unit was constructed from readily available β-keto ester. The ethynyl or cyano group of I and II were prepared, after the introduction of thymine base, by manipulation of the ester function. Evaluation of the anti-HIV activity of I and II was also carried out, but ultimately did not

10/781,305

inhibit the virus.

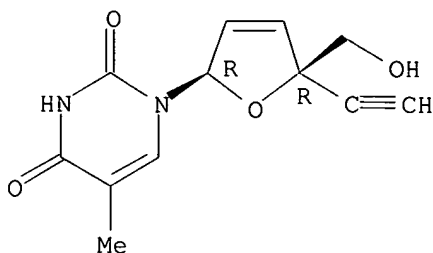
IT 634907-30-5

RL: PAC (Pharmacological activity); BIOL (Biological study)
(synthesis and anti-HIV activity of (±)-4'-ethynyl and 4'-cyano
carbocyclic nucleoside stavudine analogs)

RN 634907-30-5 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-2,5-dihydro-5-
(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:701799 HCAPLUS

DN 141:225774

TI Preparation of 2',3'-dideoxy and 2',3'-didehydro nucleoside analogs as
prodrugs for treating viral infections, most notably HIV

IN Cheng, Yung-chi; Tanaka, Hiromichi; Baba, Masanori

PA USA

SO U.S. Pat. Appl. Publ., 45 pp.

CODEN: USXXCO

DT Patent

LA English

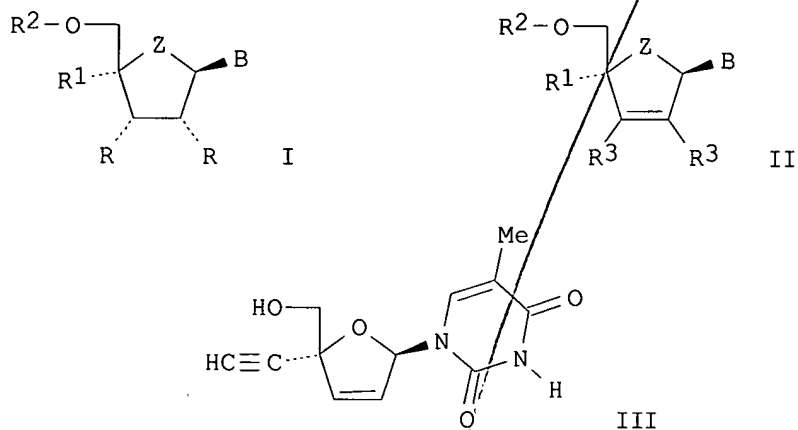
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	CA 2514466	AA	20050210	CA 2004-2514466	20040218
	WO 2005011709	A1	20050210	WO 2004-US4713	20040218
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RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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EP	1653976	A1	20060510	EP 2004-775776	20040218
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CN	1777432	A	20060524	CN 2004-80010529	20040218
PRAI	US 2003-448554P	P	20030219		

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WO 2004-US4713 W 20040218
OS CASREACT 141:225774; MARPAT 141:225774
GI



AB Nucleosides I, wherein B is nucleobase; Z is O or CH₂; R is H, OH, halo, alkyl substituents; R₁ can be H, Me, alkenyl, alkynyl; R₂ is H, acyl, alkyl, ether, phosphoethers; and 2',3'-didehydro nucleosides II where Z is O; and R₃ can alkyl, alkenyl, alkynyl, halo, hydroxy, were prepared as prodrugs and antiviral agents. Thus, the synthesized 2',3'-dideoxy and didehydro nucleoside analogs were tested as potential antiviral, anti-HIV and anti-infective prodrugs as independent agents, or in combination with other agents. Specifically, didehydro nucleoside III was prepared and tested in vitro as potent anti-HIV-1 agent (EC₅₀ = 0.25 ± 0.14) and as well less toxic (ID₅₀ >256) as D4T, therefor has the potential as a new anti-HIV drug.

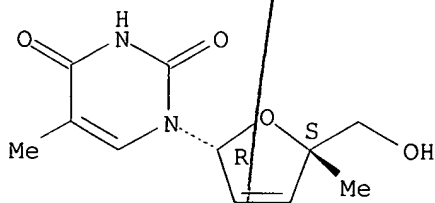
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717913-88-7P 717913-89-8P 717913-90-1P
717913-91-2P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of 2',3'-dideoxy and didehydro nucleoside analog and their evaluation as antiviral, anti-HIV and anti-infective prodrugs)

RN 151989-82-1 HCAPLUS

CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



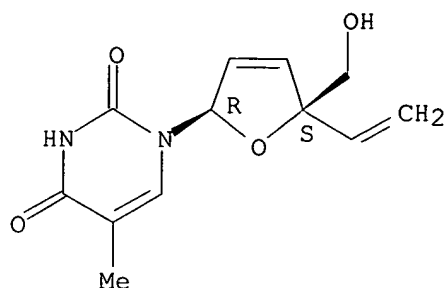
RN 634907-29-2 HCAPLUS

McIntosh

10/781,305

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-5-ethenyl-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

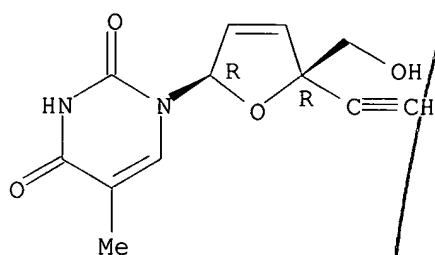
Absolute stereochemistry.



RN 634907-30-5 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

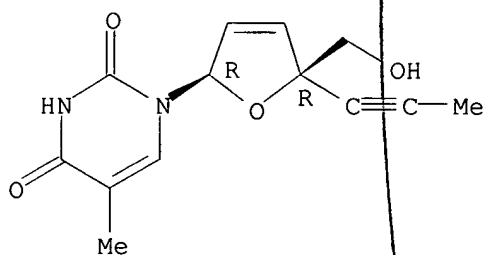
Absolute stereochemistry.



RN 717913-88-7 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-2,5-dihydro-5-(hydroxymethyl)-5-(1-propynyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

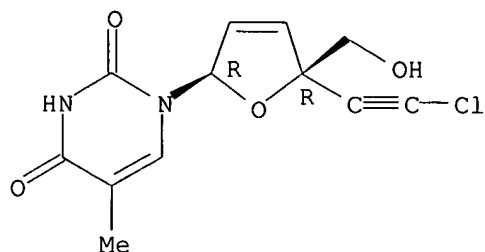


RN 717913-89-8 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-(chloroethynyl)-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

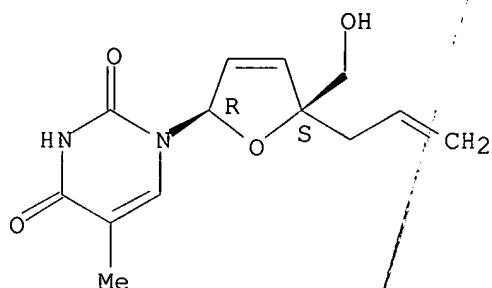
10/781,305



RN 717913-90-1 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-2,5-dihydro-5-(hydroxymethyl)-5-(2-propenyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

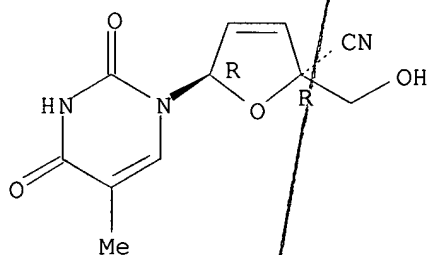
Absolute stereochemistry.



RN 717913-91-2 HCAPLUS

CN 2-Furancarbonitrile, 5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-2,5-dihydro-2-(hydroxymethyl)-, (2R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 744217-17-2P

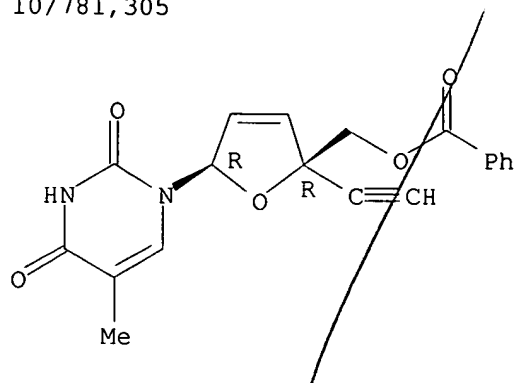
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of 2',3'-dideoxy and dideohydro nucleoside analog and their evaluation as antiviral, anti-HIV and anti-infective prodrugs)

RN 744217-17-2 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-[(benzoyloxy)methyl]-5-ethynyl-2,5-dihydro-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

McIntosh



L12 ANSWER 6 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:641088 HCAPLUS

DN 141:296237

TI Synthesis of 3'-Fluoro-2',3'-dideoxy-2',3'-didehydro-4'-ethynyl-D- and -L-furanosyl Nucleosides

AU Chen, Xin; Zhou, Wen; Schinazi, Raymond F.; Chu, Chung K.

CS College of Pharmacy, University of Georgia, Athens, GA, 30602, USA

SO Journal of Organic Chemistry (2004), 69(18), 6034-6041

CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

OS CASREACT 141:296237

AB An efficient procedure has been developed for the synthesis of 3'-fluoro-2',3'-dideoxy-2',3'-didehydro-4'-ethynyl D- and L-furanosyl nucleosides starting from 2,3-O-isopropylidene-D-glyceraldehyde. The key intermediate 1-O-benzoyl-3E-fluoro-3,4-unsatd.-5,6-di(tert-butyl)dimethylsilyloxy)-2-hexanone was obtained in nine steps with the overall yield of 22%. This α,β -unsatd. ketone was then treated with ethynylmagnesium bromide in a typical Grignard reaction procedure to afford two intermediates, which after deprotection, oxidation, and acetylation gave the corresponding 4-ethynyl-substituted D- and L-sugar moieties. A series of D- and L-pyrimidine and purine nucleosides were prepared by the coupling of the sugar moieties with various silyl-protected bases. The anomeric mixts. were obtained after condensation. After separation, the β -isomers were further deprotected to yield the target nucleosides. All the newly synthesized 4'-substituted nucleosides were tested for their activities against HIV, among which the D-adenine derivative showed moderate anti-HIV activity ($EC_{50} = 25.1 \mu M$) without significant cytotoxicity.

IT 765311-83-9P 765311-84-0P 765311-92-0P

765311-93-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

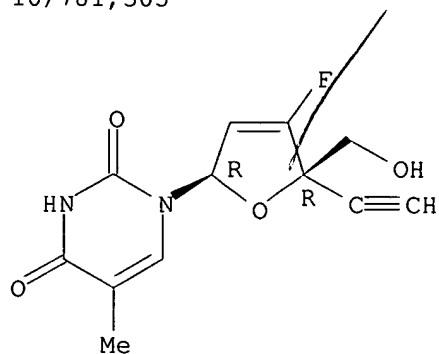
(synthesis and antiviral activity of 3'-fluorodideoxydidehydro-4'-ethynyl-D- and L-furanosyl nucleosides)

RN 765311-83-9 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-4-fluoro-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

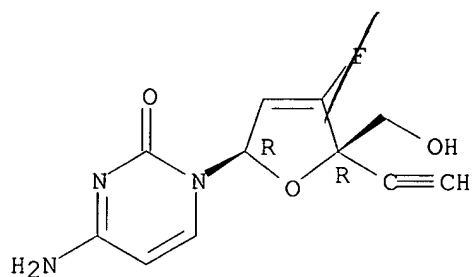
10/781,305



RN 765311-84-0 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[(2R,5R)-5-ethynyl-4-fluoro-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]- (9CI) (CA INDEX NAME)

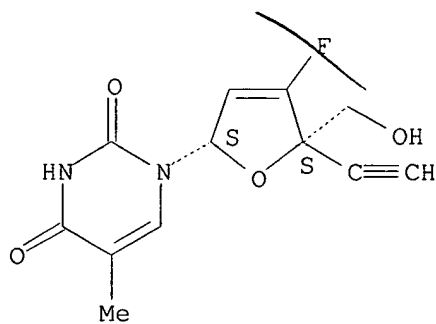
Absolute stereochemistry. Rotation (-).



RN 765311-92-0 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S,5S)-5-ethynyl-4-fluoro-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

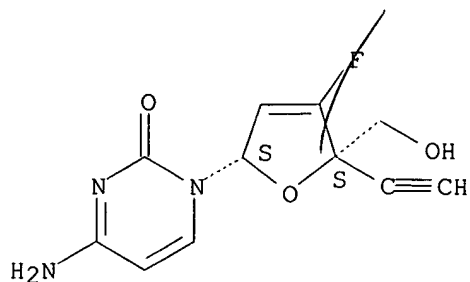


RN 765311-93-1 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[(2S,5S)-5-ethynyl-4-fluoro-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/781,305



IT 765311-77-1P 765311-78-2P 765311-86-2P
765311-87-3P

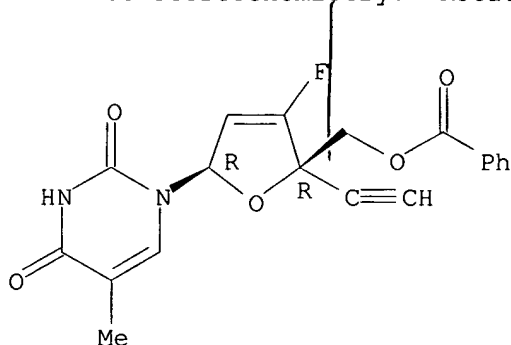
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and antiviral activity of 3'-fluorodideoxydidehydro-4'-ethynyl-D- and L-furanosyl nucleosides)

RN 765311-77-1 HCAPLUS

CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-C-ethynyl-3'-fluoro-, 5'-benzoate (9CI) (CA INDEX NAME)

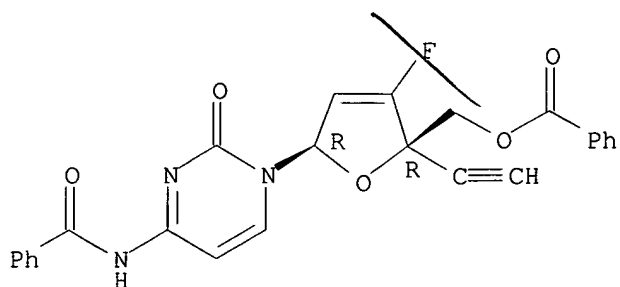
Absolute stereochemistry. Rotation (+).



RN 765311-78-2 HCAPLUS

CN Cytidine, N-benzoyl-2',3'-didehydro-2',3'-dideoxy-4'-C-ethynyl-3'-fluoro-, 5'-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



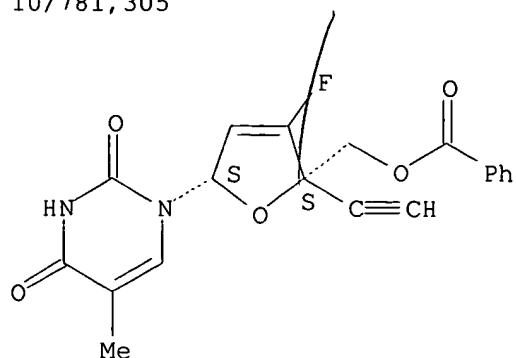
RN 765311-86-2 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S,5S)-5-[(benzoyloxy)methyl]-5-ethynyl-4-fluoro-2,5-dihydro-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

McIntosh

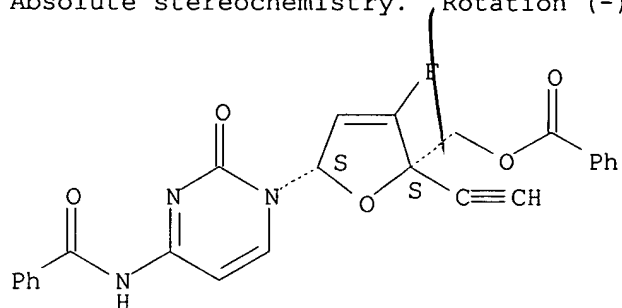
10/781,305



RN 765311-87-3 HCAPLUS

CN Benzamide, N-[1-[(2S,5S)-5-[(benzoyloxy)methyl]-5-ethynyl-4-fluoro-2,5-dihydro-2-furanyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



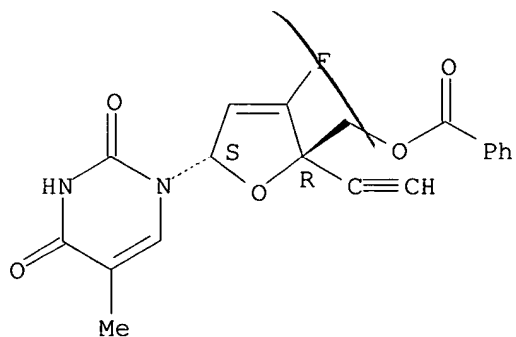
IT 765311-79-3P 765311-80-6P 765311-88-4P
765311-89-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and antiviral activity of 3'-fluorodideoxydideohydro-4'-ethynyl-D- and L-furanosyl nucleosides)

RN 765311-79-3 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S,5R)-5-[(benzoyloxy)methyl]-5-ethynyl-4-fluoro-2,5-dihydro-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



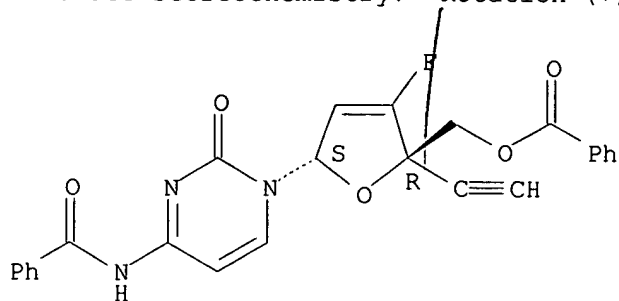
RN 765311-80-6 HCAPLUS

CN Benzamide, N-[1-[(2S,5R)-5-[(benzoyloxy)methyl]-5-ethynyl-4-fluoro-2,5-dihydro-2-furanyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

McIntosh

10/781,305

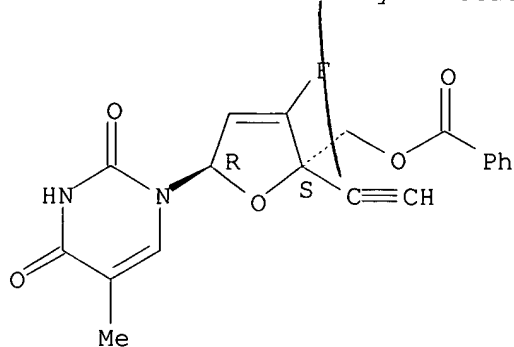
Absolute stereochemistry. Rotation (+).



RN 765311-88-4 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-5-[(benzoyloxy)methyl]-5-ethynyl-4-fluoro-2,5-dihydro-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

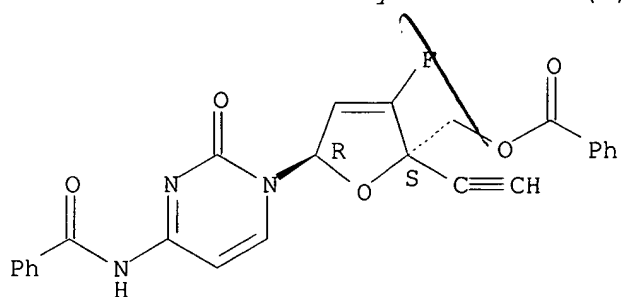
Absolute stereochemistry. Rotation (-).



RN 765311-89-5 HCAPLUS

CN Benzamide, N-[1-[(2R,5S)-5-[(benzoyloxy)methyl]-5-ethynyl-4-fluoro-2,5-dihydro-2-furanyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:393067 HCAPLUS

DN 141:350346

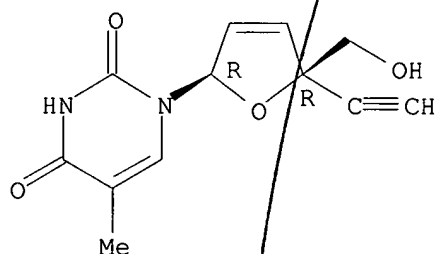
TI Synthesis and Anti-HIV Activity of 4'-Cyano-2',3'-didehydro-3'-deoxythymidine

McIntosh

10/781,305

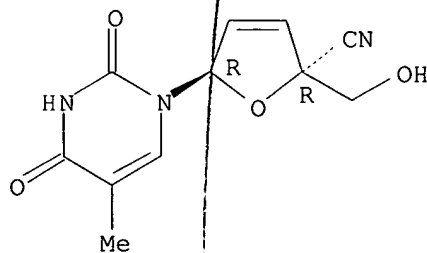
AU Haraguchi, Kazuhiro; Itoh, Yoshiharu; Takeda, Shingo; Honma, Yosuke;
Tanaka, Hiromichi; Nitanda, Takao; Baba, Masanori; Dutschman, Ginger E.;
Cheng, Yung-Chi
CS School of Pharmaceutical Sciences, Showa University, Shinagawa-ku, Tokyo,
Japan
SO Nucleosides, Nucleotides & Nucleic Acids (2004), 23(4), 647-654
CODEN: NNNAFY; ISSN: 1525-7770
PB Marcel Dekker, Inc.
DT Journal
LA English
OS CASREACT 141:350346
AB A new anti-HIV agent 4'-cyano-2',3'-didehydro-3'-deoxythymidine was
synthesized by allylic substitution of the 3',4'-unsatd. nucleoside,
having a leaving group at the 2'-position, with cyanotrimethylsilane in
the presence of SnCl₄. Evaluation of the anti-HIV activity showed that
this compound is much less potent than the recently reported
2',3'-didehydro-3'-deoxy-4'-(ethynyl)thymidine.
IT 634907-30-5
RL: PAC (Pharmacological activity); BIOL (Biological study)
(synthesis and anti-HIV activity of cyanodidehydrodeoxythymidine)
RN 634907-30-5 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-2,5-dihydro-5-
(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 717913-91-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL
(Biological study); PREP (Preparation)
(synthesis and anti-HIV activity of cyanodidehydrodeoxythymidine)
RN 717913-91-2 HCAPLUS
CN 2-Furancarboxitrile, 5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-
2,5-dihydro-2-(hydroxymethyl)-, (2R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 774226-11-8P

McIntosh

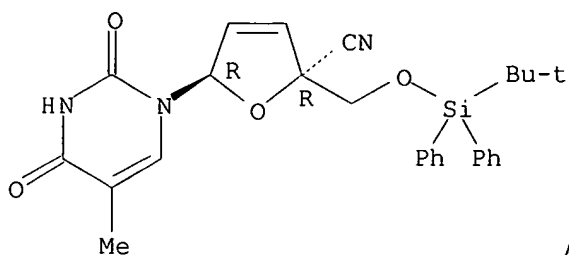
10/781,305

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(synthesis and anti-HIV activity of cyanodidehydrodeoxythymidine)

RN 774226-11-8 HCAPLUS

CN 2-Furancarboxitrile, 5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-
2-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-, (2R,5R)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



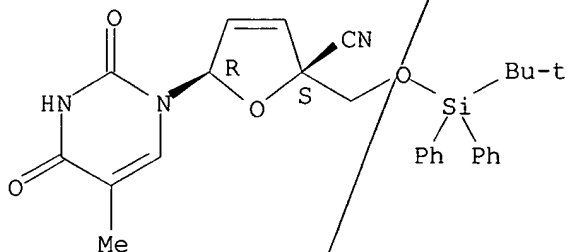
IT 774226-12-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and anti-HIV activity of cyanodidehydrodeoxythymidine)

RN 774226-12-9 HCAPLUS

CN 2-Furancarboxitrile, 5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-
2-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-, (2S,5R)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:374658 HCAPLUS

DN 141:99075

TI Novel 4'-substituted stavudine analog with improved anti-human
immunodeficiency virus activity and decreased cytotoxicity

AU Dutschman, Ginger E.; Grill, Susan P.; Gullen, Elizabeth A.; Haraguchi,
Kazuhiro; Takeda, Shingo; Tanaka, Hiromichi; Baba, Masanori; Cheng,
Yung-Chi

CS Department of Pharmacology, School of Medicine, Yale University, New
Haven, CT, 06520, USA

SO Antimicrobial Agents and Chemotherapy (2004), 48(5), 1640-1646
CODEN: AMACQ; ISSN: 0066-4804

PB American Society for Microbiology

DT Journal

McIntosh

10/781,305

LA English

AB The antiviral drug 2',3'-didehydro-3'-deoxythymidine (D4T; also known as stavudine and Zerit), which is used against human immunodeficiency virus (HIV), causes delayed toxicity (peripheral neuropathy) in long-term use. After examining a series of 2',3'-didehydro-3'-deoxy-4'-substituted thymidine (4'-substituted D4T) analogs, 4'-ethynyl D4T was found to have a fivefold better antiviral effect and to cause less cellular and mitochondrial toxicity than D4T. The antiviral activity of this compound can be reversed by dThd but not by dCyd. The compound acted synergistically with β -L-2',3'-deoxy-3'-thiacytidine (also known as lamivudine) and β -L-2',3'-dideoxy-2',3'-didehydro-5'-fluorocytidine (also known as elvucitabine) and additively with 2',3'-dideoxyinosine (also known as didanosine and Videx) and 3'-azido-3'-deoxythymidine (also known as Retrovir and zidovudine) against HIV. 4'-Ethynyl D4T is phosphorylated by purified human thymidine kinase 1 (TK-1) from CEM cells with a faster relative V_{max} and a lower K_m value than D4T. The efficiency of TK-1 in the phosphorylation of 4'-ethynyl D4T is fourfold better than that of D4T. While D4T is broken down by the catabolic enzyme thymidine phosphorylase, the level of breakdown of 4'-ethynyl D4T was below detection. Since 4'-ethynyl D4T has increased anti-HIV activity and decreased toxicity and interacts favorably with other currently used anti-HIV drugs, it should be considered for further development as an anti-HIV drug.

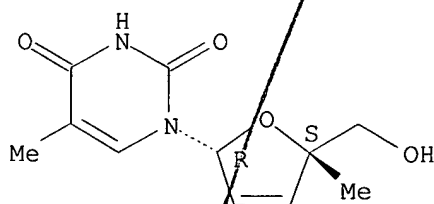
IT 151989-82-1, 2',3'-Didehydro-3'-deoxy-4'-methylthymidine
634907-29-2, 2',3'-Didehydro-3'-deoxy-4'-ethenylthymidine
634907-30-5 717913-88-7, 2',3'-Didehydro-3'-deoxy-4'-
methylethynylthymidine, 717913-89-8, 2',3'-Didehydro-3'-deoxy-4'-
chloroethynylthymidine, 717913-90-1, 4'-Allyl-2',3'-Didehydro-3'-
deoxythymidine 717913-91-2, 4'-Cyano-2',3'-Didehydro-3'-
deoxythymidine

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(4'-substituted stavudine analog with improved anti-HIV activity and decreased cytotoxicity)

RN 151989-82-1 HCAPLUS

CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

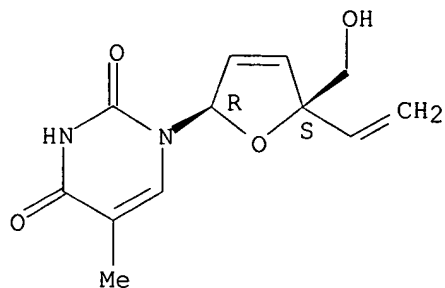


RN 634907-29-2 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-5-ethenyl-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

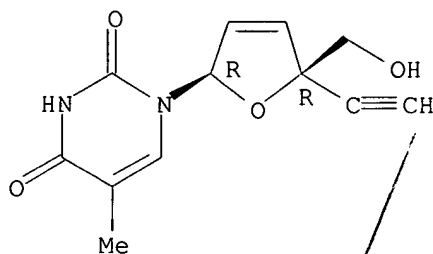
10/781,305



RN 634907-30-5 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

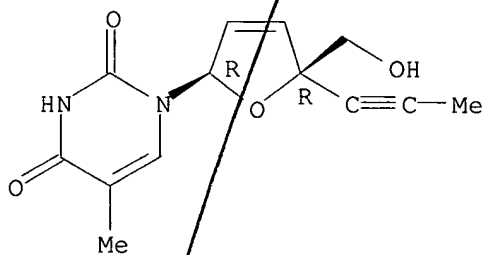
Absolute stereochemistry.



RN 717913-88-7 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-2,5-dihydro-5-(hydroxymethyl)-5-(1-propynyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 717913-89-8 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-(chloroethynyl)-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Cc1cnc(=O)c2c1n(C2C3C=CC(OC3)C(R)C(R)C3C#CCl)O3

CN 2,4 (1H,3H)-Pyrimidinedione, / 1-[(2R,5S)-2,5-dihydro-5-(hydroxymethyl)-5-(2-propenyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

CN 2-Furancarboxitrile, 5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-
2,5-dihydro-2-(hydroxymethyl)-, (2R,5R)- (9CI) (CA INDEX NAME)

SO Bioorganic & Medicinal Chemistry Letters (2003), 13(21), 3775-3777

11/2003

CODEN: BMCLE8; ISSN: 0960-894X

DT Journal

OS CASREACT

AB Compds. having Me, vinyl, and ethynyl groups at the 4'-position of stavudine (d4T: 2',3'-didehydro-3'-deoxythymidine) were synthesized. The compds. were assayed for their ability to inhibit the replication of HIV in cell culture. The 4'-ethynyl analog was found to be ten times more potent and less toxic than the parent compound stavudine.

IT 151989-82-1P 634907-29-2P 634907-30-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and anti-HIV structure activity anal. of 2',3'-Didehydro-3'-deoxy-4'-ethynylthymidine analogs)

RN 151989-82-1 HCAPLUS

CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-C-methyl- (9CI) (CA INDEX NAME)

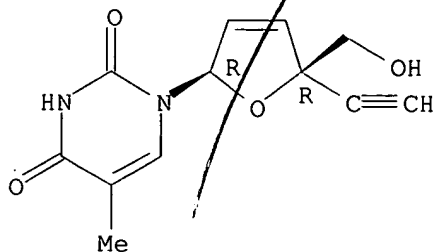
RN 634907-29-2/ HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-5-ethenyl-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 634,907-30-5 HCAPLUS

CN 2,4-(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-ethynyl-2,5-dihydro-5-(hydroxymethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

McIntosh



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 10 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:788367 HCAPLUS

DN 140:16909

TI Asymmetric synthesis of oxygen heterocycles via Pd-catalyzed dynamic kinetic asymmetric transformations: Application to nucleosides

AU Trost, Barry M.; Brown, Brian S.; McEachern, Ernest J.; Kuhn, Oliver

CS Department of Chemistry, Stanford University, Stanford, CA, 94305-5080, USA

SO Chemistry--A European Journal (2003), 9(18), 4442-4451

CODEN: CEUJED; ISSN: 0947-6539

PB Wiley-VCH Verlag GmbH & Co. KGaA

DT Journal

LA English

OS CASREACT 140:16909

AB Racemic butadiene and isoprene monoepoxide react with unsatd. alcs. in the presence of a chiral palladium catalyst and a boron co-catalyst to give 3-alkoxy-4-hydroxy-1-butene and 3-alkoxy-4-hydroxy-3-methyl-1-butene, resp., with excellent regio and enantioselectivity in a dynamic kinetic asym. transformation whereby both enantiomers of the starting epoxides provide the same enantiomeric product. In the case of 2-phenyl-butadiene monoepoxide, easily available from phenacyl chloride and vinylmagnesium bromide, the reaction proceeds by kinetic resolution. A model to rationalize the result is presented. The bis-olefin products are ideal substrates for the Ru catalyzed ring closing metathesis. In this way, five-, six-, and seven-membered oxygen heterocycles are readily available enantiomerically pure. The value of this very simple two step process is demonstrated by the use of the five-membered ring heterocycles to form unnatural and unusual nucleosides that cannot be easily accessed by other means. The sequence involves a Ru catalyzed isomerization of the initial 2,5-dihydrofuran to a 2,3-dihydrofuran followed by a selenium promoted addition of a pyrimidine or purine base. One advantage of this strategy is the easy access to either enantiomeric series, both of which have important biol. applications.

IT 628301-86-0P 630109-32-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

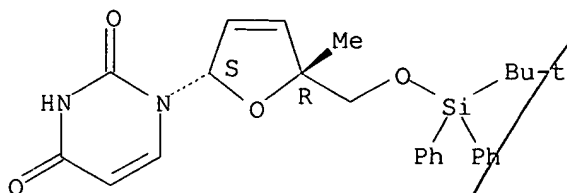
(asym. synthesis of oxygen heterocycles and unsatd. dideoxynucleoside analogs which is amendable to the preparation of either enantiomeric series)

RN 628301-86-0 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S,5R)-5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-methyl-2-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

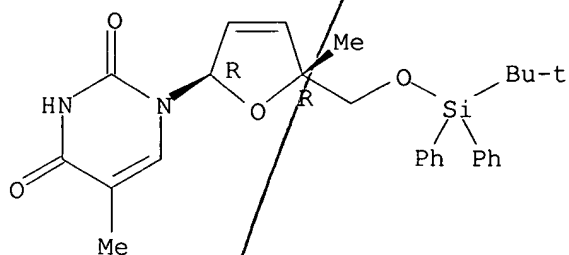
10/781,305



RN 630109-32-9 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-methyl-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



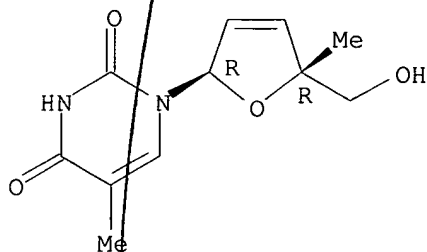
IT 628301-88-2P 628301-89-3P 630109-39-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(asym. synthesis of oxygen heterocycles and unsatd. dideoxynucleoside
analogs which is amendable to the preparation of either enantiomeric series)

RN 628301-88-2 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-2,5-dihydro-5-(hydroxymethyl)-5-methyl-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

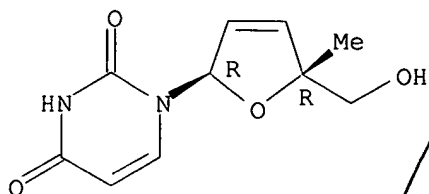


RN 628301-89-3 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5R)-2,5-dihydro-5-(hydroxymethyl)-5-methyl-2-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

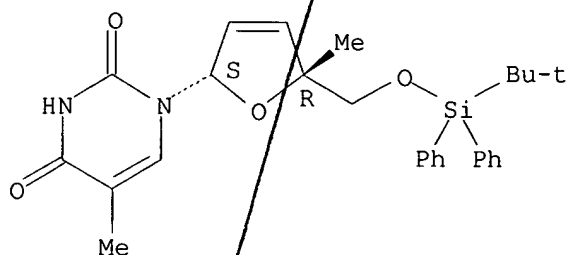
10/781,305



RN 630109-39-6 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S,5R)-5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-methyl-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:954815 HCAPLUS

DN 138:321485

TI Reaction of 4',5'-epoxynucleosides with carbon nucleophiles:
Stereoselective synthesis of 4'-C-carbon-substituted nucleosides

AU Haraguchi, Kazuhiro; Takeda, Shingo; Tanaka, Hiromichi

CS School of Pharmaceutical Sciences, Showa University, Tokyo, 142-8555, Japan

SO Nucleic Acids Research Supplement (2002), 2(Twenty-ninth Symposium on Nucleic Acids Chemistry), 133-134

CODEN: NARSCE

PB Oxford University Press

DT Journal

LA English

OS CASREACT 138:321485

AB 4',5'-Epoxythymidine (I) was obtained as a single diastereoisomer by oxidation of 3'-O-(t-Bu dimethylsilyl)-4',5'-dehydrothymidine with dimethyldioxirane. When the epoxide I was treated with allyltrimethylsilane in the presence of SnCl₄, regio- (at the C4') and stereoselective (from the α -face) cleavage of the oxirane ring proceeded to afford a 4'-C- α -allylated derivative as a sole product. In the reaction of I with trimethylaluminum, 4'-C- β -Me derivative was obtained as a major product.

IT 151989-82-1P

RL: PNU (Preparation, unclassified); PREP (Preparation)
(stereoselective synthesis of 4'-C-carbon-substituted nucleosides via epoxide ring opening of 4',5'-epoxynucleosides with carbon nucleophiles)

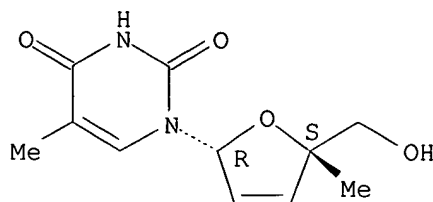
RN 151989-82-1 HCAPLUS

McIntosh

10/781,305

CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 12 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:556506 HCAPLUS

DN 137:257266

TI In Silico Studies toward the Discovery of New Anti-HIV Nucleoside Compounds with the Use of TOPS-MODE and 2D/3D Connectivity Indices. 1. Pyrimidyl Derivatives

AU Estrada, Ernesto; Vilar, Santiago; Uriarte, Eugenio; Gutierrez, Yaquelin

CS Faculty of Pharmacy, Department of Organic Chemistry, University of Santiago de Compostela, Santiago de Compostela, 15706, Spain

SO Journal of Chemical Information and Computer Sciences (2002), 42(5), 1194-1203

CODEN: JCISD8; ISSN: 0095-2338

PB American Chemical Society

DT Journal

LA English

AB Computational approaches are developed to design or rationally select, from structural databases, pyrimidyl nucleosides with anti-HIV activity. A data set of 141 nucleoside derivs. was selected from literature, and a discriminant function was derived with the use of TOPS-MODE descriptors. The model is able to classify correctly 83% of the compds. in a training set and 88.5% in a cross-validation set. The use of an external prediction set selected from the most recent literature proved that the model has good predictive ability, with a good classification of 85% of the compds. in this set. This model permitted the structural interpretation of the anti-HIV activity of these nucleoside analogs. This interpretation is formulated as several rules concerning the influence of several structural features on the activity/inactivity of such compds. A QSAR model for the most active compds. was developed with the combined use of 2D and 3D connectivity indexes. This model explains 88% of the variance in the activity of these compds. in MT4 assay. The combination of both models will permit the selection of pyrimidyl nucleoside leads and their optimization to improve the potency of the selected ones.

IT 219649-58-8

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(in silico studies toward discovery of anti-HIV nucleoside compds. with use of TOPS-MODE and 2D/3D connectivity indexes)

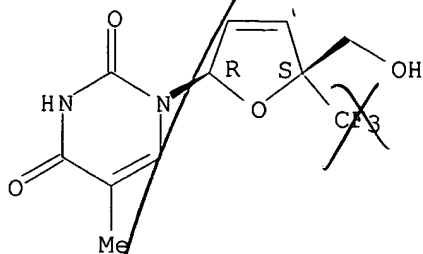
RN 219649-58-8 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-2,5-dihydro-5-(hydroxymethyl)-5-(trifluoromethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

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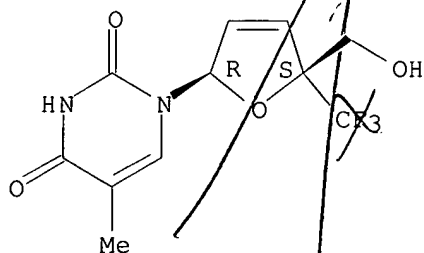
10/781,305



RE.CNT 82 THERE ARE 82 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 13 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:784373 HCAPLUS
DN 130:110528
TI Synthesis of 4'-trifluoromethyl nucleoside analogs
AU Kozak, Janusz; Johnson, Carl R.
CS Department of Chemistry, Wayne State University, Detroit, MI, 48202-3489, USA
SO Nucleosides & Nucleotides (1998), 17(12), 2221-2239
CODEN: NUNUD5; ISSN: 0732-8311
PB Marcel Dekker, Inc.
DT Journal
LA English
AB A strategy based on the use of (trifluoromethyl)trimethylsilane for introduction of the trifluoromethyl group at the C-4 of ribose has been developed and utilized in the synthesis of various novel 4'-trifluoromethylated nucleoside analogs. Screening of these analogs against HIV did not reveal significant biol. activity.
IT 219649-58-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of trifluoromethyl nucleoside analogs)
RN 219649-58-8 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-2,5-dihydro-5-(hydroxymethyl)-5-(trifluoromethyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



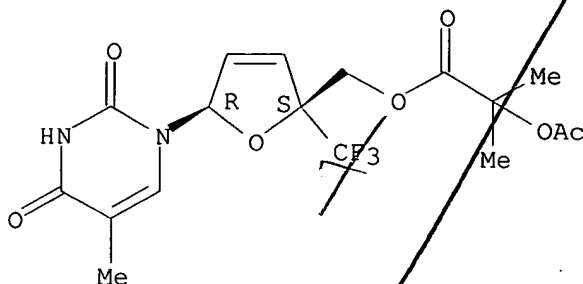
IT 219649-57-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of trifluoromethyl nucleoside analogs)
RN 219649-57-7 HCAPLUS
CN Propanoic acid, 2-(acetyloxy)-2-methyl-, [(2S,5R)-5-(3,4-dihydro-5-methyl-

McIntosh

10/781,305

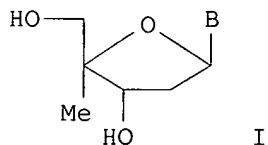
2,4-dioxo-1(2H)-pyrimidinyl)-2,5-dihydro-2-(trifluoromethyl)-2-furanyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 14 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1996:109073 HCAPLUS
DN 124:290140
TI Synthesis and biological evaluation of 4'-C-methyl nucleosides
AU Waga, Toshiaki; Ohrui, Hiroshi; Meguro, Hiroshi
CS Central Res. Lab., Asahi Breweries Ltd., Tokyo, 143, Japan
SO Nucleosides & Nucleotides (1996), 15(1-3), 287-304
CODEN: NUNUD5; ISSN: 0732-8311
PB Dekker
DT Journal
LA English
GI

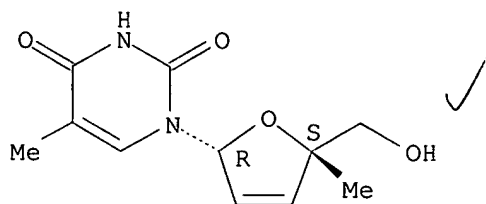


AB A series of 2'-deoxy, 2',3'-unsatd. and 2',3'-dideoxynucleoside analogs, e.g. I (B = adenine, cytosine, thymine), which have an addnl. Me group at the 4'-position, have been synthesized. When evaluated for their inhibitory activity against HIV in MT-4 cell, 2'-deoxy-4'-C-Me nucleosides exhibited potent activity.
IT 151989-82-1P 175545-34-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antiviral activity of C-methyldeoxyribonucleosides)
RN 151989-82-1 HCAPLUS
CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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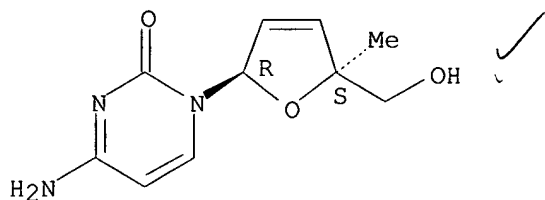
10/781,305



RN 175545-34-3 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[2,5-dihydro-5-(hydroxymethyl)-5-methyl-2-furanyl]-, (2R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



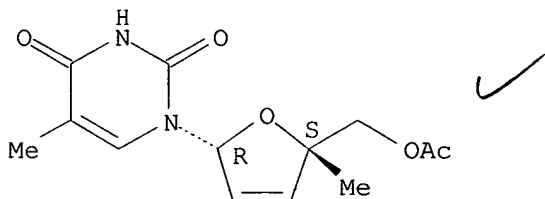
IT 160766-57-4P 175545-35-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and antiviral activity of C-methyldeoxyribonucleosides)

RN 160766-57-4 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[(acetyloxy)methyl]-2,5-dihydro-5-methyl-2-furanyl]-5-methyl-, (2R-cis)- (9CI) (CA INDEX NAME)

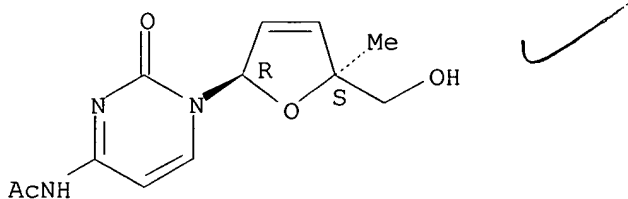
Absolute stereochemistry.



RN 175545-35-4 HCAPLUS

CN Acetamide, N-[1-[2,5-dihydro-5-(hydroxymethyl)-5-methyl-2-furanyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]-, (2R-cis)- (9CI) (CA INDEX NAME)

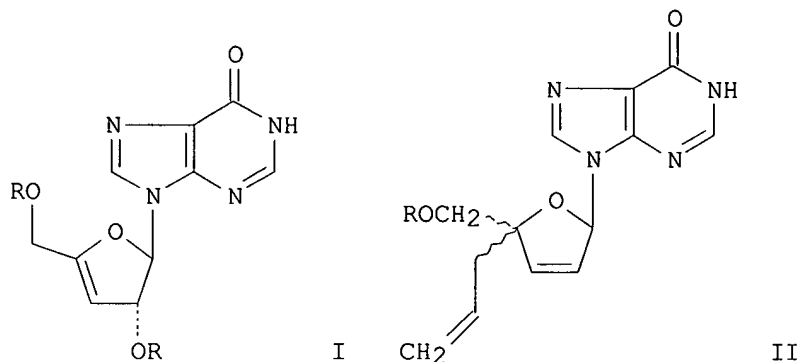
Absolute stereochemistry. Rotation (+).



McIntosh

L12 ANSWER 15 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN
 AN 1996:53061 HCAPLUS
 DN 124:202920
 TI Allylic Substitution of 3',4'-Unsaturated Nucleosides: Organosilicon-Based Stereoselective Access to 4'-C-Branched 2',3'-Didehydro-2',3'-dideoxyribonucleosides
 AU Haraguchi, Kazuhiro; Tanaka, Hiromichi; Itoh, Yoshiharu; Yamaguchi, Kentaro; Miyasaka, Tadashi
 CS School of Pharmaceutical Sciences, Showa University, Tokyo, 142, Japan
 SO Journal of Organic Chemistry (1996), 61(3), 851-8
 CODEN: JOCEAH; ISSN: 0022-3263
 PB American Chemical Society
 DT Journal
 LA English
 OS CASREACT 124:202920
 GI

Printed



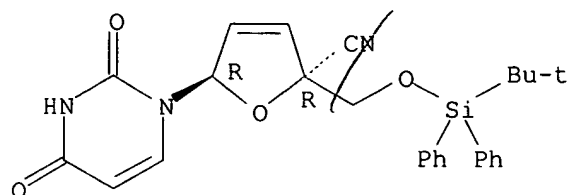
AB Reactions of organosilicon reagents (such as allyltrimethylsilane, silyl enol ethers, cyanotrimethylsilane) with 3',4'-unsatd. nucleosides, e.g. I (R = Ac, Bz, TBDPS), were investigated in the presence of a Lewis acid in CH₂Cl₂. In the cases of uracil and N4-acetylcytosine derivs., SnCl₄ appeared to be suitable, whereas the use of EtAlCl₂ was necessary for the hypoxanthine derivs. The main pathway of these reactions was found to be α-face-selective SN2' allylic substitution, irresp. of the configuration of 2'-O-acyl leaving group. As a result, a new stereoselective operation for C-C bonds formation leading to 4'-carbon-substituted 2',3'-didehydro-2',3'-dideoxyribonucleosides, e.g. II (R = Ac, Bz, TBDPS), has been disclosed for the first time. Stereochem. of these 4'-C-branched products can be assigned on the basis of 1H NMR spectroscopy in terms of the anisotropic shift of H-5 of the pyrimidine base (or H-8 of the hypoxanthine), which is caused by the 5'-O-(tert-butyldiphenylsilyl) protecting group.

IT 142468-72-2P 142560-96-1P 174275-93-5P
 174391-02-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (stereoselective allylic substitution of unsatd. nucleosides in preparation of branched didehydridideoxyribonucleosides)

RN 142468-72-2 HCAPLUS
 CN Uridine, 4'-cyano-2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)diphenylsilyl]- (9CI) (CA INDEX NAME)

10/781,305

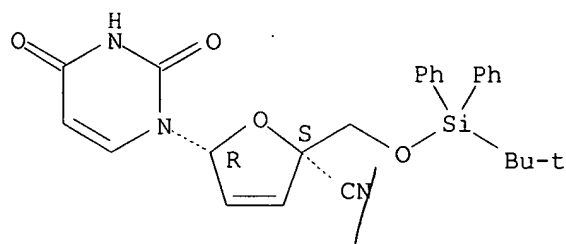
Absolute stereochemistry.



RN 142560-96-1 HCAPLUS

CN 2-Furancarboxitrile, 5-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-2-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-, (2S-trans)- (9CI)
(CA INDEX NAME)

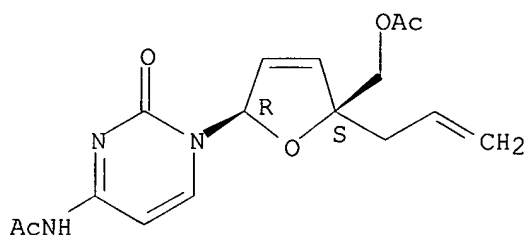
Absolute stereochemistry.



RN 174275-93-5 HCAPLUS

CN Cytidine, N-acetyl-2',3'-didehydro-2',3'-dideoxy-4'-C-2-propenyl-, 5'-acetate (9CI) (CA INDEX NAME)

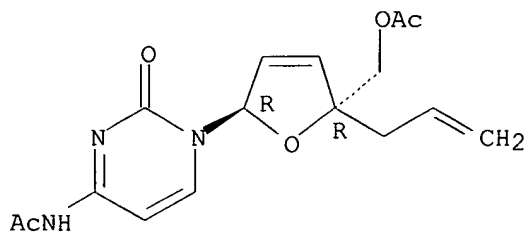
Absolute stereochemistry.



RN 174391-02-7 HCAPLUS

CN Acetamide, N-[1-[5-[(acetyloxy)methyl]-2,5-dihydro-5-(2-propenyl)-2-furanyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]-, (2R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



McIntosh

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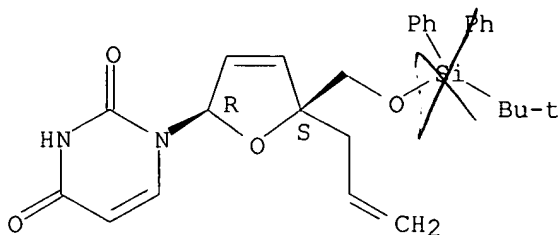
IT 142468-65-3P 142468-66-4P 142468-69-7P
142468-70-0P 142560-91-6P 142560-93-8P
142560-94-9P 142562-05-8P 145668-71-9P
153380-74-6P 174275-94-6P 174275-96-8P
174391-03-8P 174391-04-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(stereoselective allylic substitution of unsatd. nucleosides in preparation
of branched didehydrideoxyribonucleosides)

RN 142468-65-3 HCAPLUS

CN Uridine, 2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-
dimethylethyl)diphenylsilyl]-4'-(2-propenyl)- (9CI) (CA INDEX NAME)

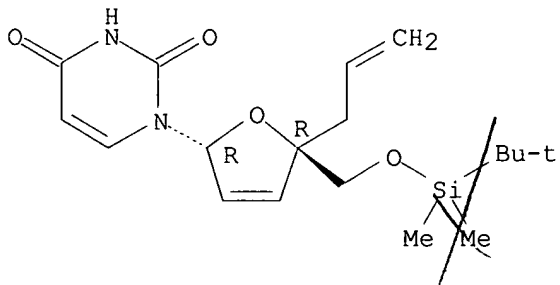
Absolute stereochemistry.



RN 142468-66-4 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]m
ethyl]-2,5-dihydro-5-(2-propenyl)-2-furanyl]-, (2R-trans)- (9CI) (CA
INDEX NAME)

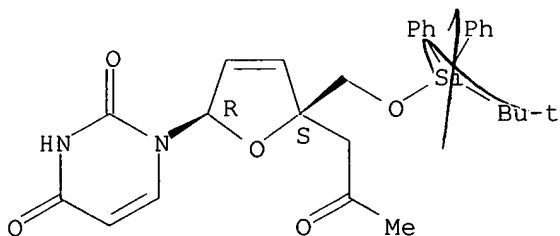
Absolute stereochemistry.



RN 142468-69-7 HCAPLUS

CN Uridine, 2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-
dimethylethyl)diphenylsilyl]-4'-(2-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



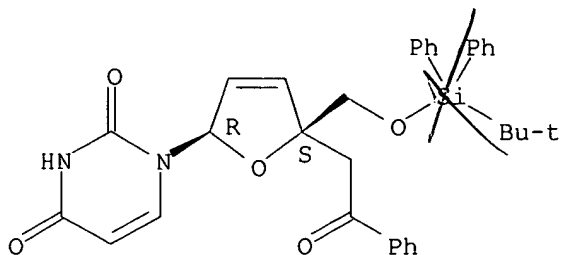
RN 142468-70-0 HCAPLUS

McIntosh

10/781,305

CN Uridine, 2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-(2-oxo-2-phenylethyl)- (9CI) (CA INDEX NAME)

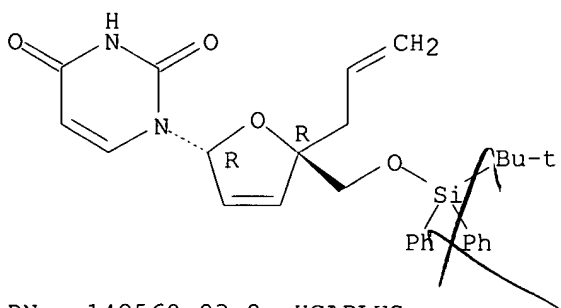
Absolute stereochemistry.



RN 142560-91-6 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-(2-propenyl)-2-furanyl]-, (2R-trans)- (9CI) (CA INDEX NAME)

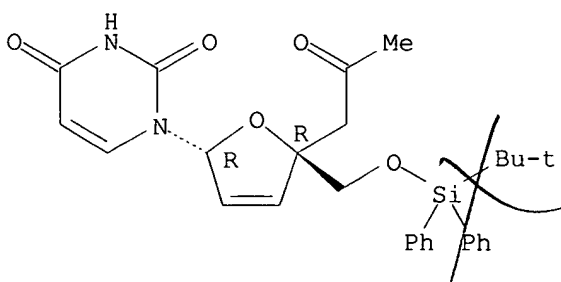
Absolute stereochemistry.



RN 142560-93-8 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-(2-oxopropyl)-2-furanyl]-, (2R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



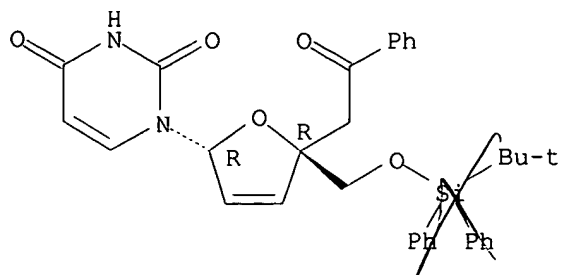
RN 142560-94-9 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-(2-oxo-2-phenylethyl)-2-furanyl]-, (2R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

McIntosh

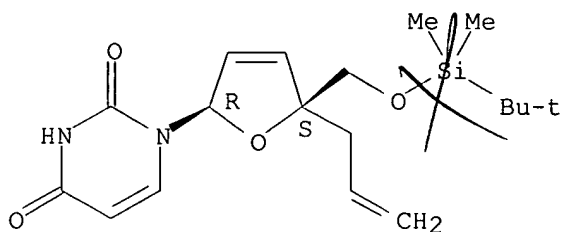
10/781,305



RN 142562-05-8 HCAPLUS

CN Uridine, 2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)dimethylsilyl]-4'-(2-propenyl)- (9CI) (CA INDEX NAME)

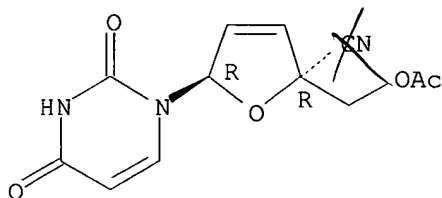
Absolute stereochemistry.



RN 145668-71-9 HCAPLUS

CN Uridine, 4'-cyano-2',3'-didehydro-2',3'-dideoxy-, 5'-acetate (9CI) (CA INDEX NAME)

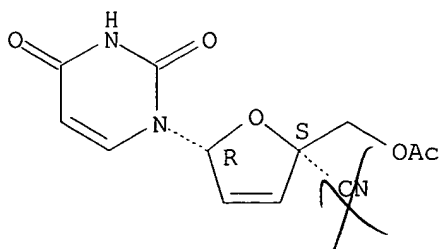
Absolute stereochemistry.



RN 153380-74-6 HCAPLUS

CN 2-Furancarboxitrile, 2-[(acetyloxy)methyl]-5-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-2,5-dihydro-, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 174275-94-6 HCAPLUS

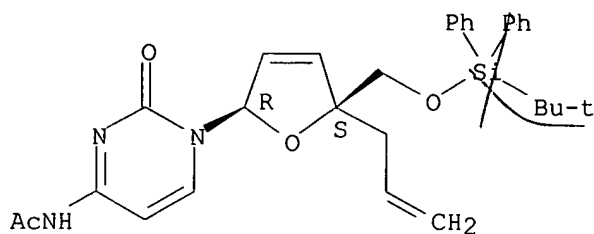
CN Cytidine, N-acetyl-2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-

McIntosh

10/781,305

dimethylethyl)diphenylsilyl]-4'-C-2-propenyl- (9CI) (CA INDEX NAME)

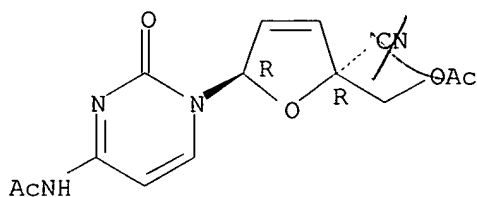
Absolute stereochemistry.



RN 174275-96-8 HCAPLUS

CN Cytidine, N-acetyl-4'-C-cyano-2',3'-didehydro-2',3'-dideoxy-, 5'-acetate (9CI) (CA INDEX NAME)

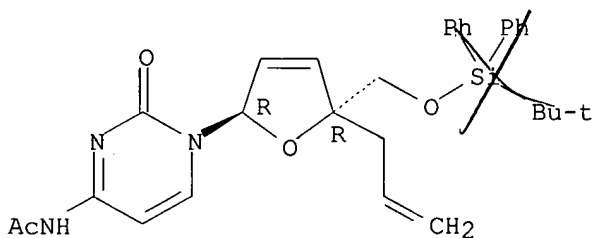
Absolute stereochemistry.



RN 174391-03-8 HCAPLUS

CN Acetamide, N-[1-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-(2-propenyl)-2-furanyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]-, (2R-trans)- (9CI) (CA INDEX NAME)

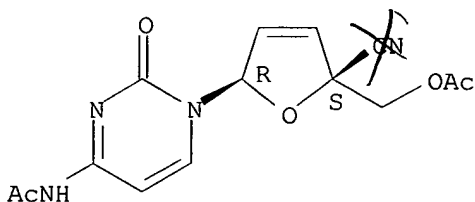
Absolute stereochemistry.



RN 174391-04-9 HCAPLUS

CN Acetamide, N-[1-[5-[(acetyloxy)methyl]-5-cyano-2,5-dihydro-2-furanyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]-, (2R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

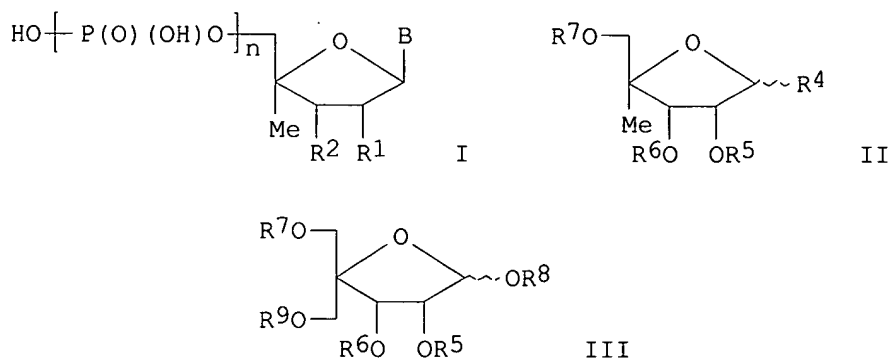


McIntosh

L12 ANSWER 16 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN
 AN 1995:23148 HCAPLUS
 DN 122:133690
 TI Preparation of 4'-methylnucleosides as virucides or neoplasm inhibitors
 IN Waga, Toshiaki; Nishizaki, Tomoko; Oorui, Hiroshi; Meguro, Hiromu
 PA Asahi Breweries Ltd, Japan
 SO Jpn. Kokai Tokkyo Koho, 9 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 06080688	A2	19940322	JP 1992-258847	19920903
PRAI	JP 1992-258847		19920903		
OS	MARPAT 122:133690				
GI					

*need to
get translated
- ordered translation 8/10/06*



AB The title compds. I (R1, R2 = H, OH; R1R2 may form ring; B = purine or pyrimidine bases; n = 0, 1, 3) or their esters, ethers, or salts are prepared by (deprotection and) deoxidn. of sugars III (R5-8 = protective group; R9 = H, protective group) followed by reaction of resulting sugars II (R4 = acyloxy, halo; R5-7 = same as III) with (silylated) (acylated) nucleic acid bases and optional deprotection and derivatization. Pharmaceutical compns. containing I and ≥ 1 inert supports and/or diluents are also claimed (no data). N6-benzoyladenine was silylated by Me3SiCl in Me3SiNHSiMe3 under reflux overnight, mixed with 1,2-diacetyl-3,5-dibenzyl-4-methyl- β -D-ribofuranose (preparation given), 1,2-dichloroethane, and SnCl4, and heated at 60° for 4 h to give 71% N6-benzoyl-2'-acetyl-3',5'-dibenzyl-4'-methyladenosine, deprotection of which gave 4'-methyladenosine.

IT 160766-57-4P, 5'-Acetyl-2',3'-didehydro-3'-deoxy-4'-methylthymidine

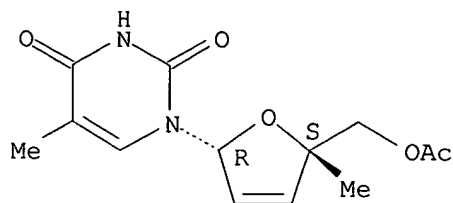
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and hydrolysis of)

RN 160766-57-4 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[(acetyloxy)methyl]-2,5-dihydro-5-methyl-2-furanyl]-5-methyl-, (2R-cis)- (9CI) (CA INDEX NAME)

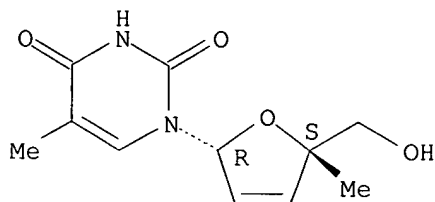
Absolute stereochemistry.

10/781,305



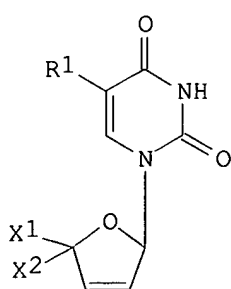
IT 151989-82-1P, 2',3'-Didehydro-3'-deoxy-4'-methylthymidine
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as virucide and neoplasm inhibitor)
RN 151989-82-1 HCAPLUS
CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

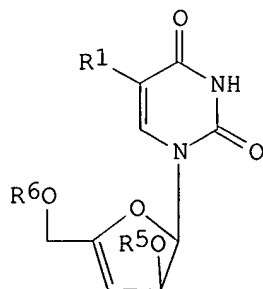


L12 ANSWER 17 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1994:164819 HCAPLUS
DN 120:164819
TI 4'-Carbon-substituted pyrimidine nucleosides as pharmaceuticals and their preparation
IN Haraguchi, Kazuhiro; Tanaka, Hiromichi; Myasaka, Sada
PA Yamasa Shoyu Kk, Japan
SO Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	---	-----	-----	-----
PI	JP 05230058	A2	19930907	JP 1992-72915	19920224
PRAI	JP 1992-72915		19920224		
OS	CASREACT 120:164819; MARPAT 120:164819				
GI					



I



II

McIntosh

AB The title compds. I [R1 = H, halo, lower alkyl; (X1, X2) = (R2, CH2OR3), (CH2OR3, R2); R2 = allyl, 2-alkylallyl, cycloalkanon-2-yl, R4CH2, cyano; R3 = H, protective group; R4 = acyl], which show antiviral or antitumor activity (no data), are prepared by treating nucleosides II (R1 = same as I; R5 = acyl; R6 = protective group) with organosilicon compds. in presence of Lewis acids. II (R1 = H, R5 = Ac, R6 = SiPh2CMe3) (preparation given) was treated with allyltrimethylsilane and SnCl4 in CH2Cl2 at $\leq -70^\circ$ for 7 h to give 74% I (R1 = H, X1 = CH2OSiPh2CMe3, X2 = allyl) and 5% I (R1 = H, X1 = allyl, X2 = CH2OSiPh2CMe3).

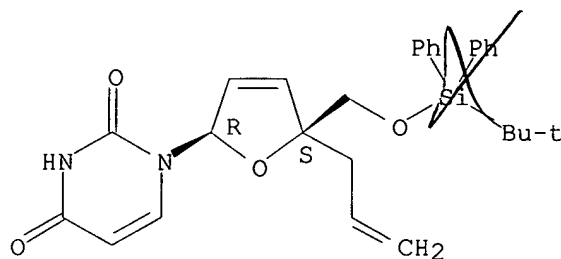
IT 142468-65-3P 142468-68-6P 142468-69-7P
142468-70-0P 142468-72-2P 142560-91-6P
142560-92-7P 142560-93-8P 142560-94-9P
142560-96-1P 145668-71-9P 153298-97-6P
153298-99-8P 153380-73-5P 153380-74-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as pharmaceutical)

RN 142468-65-3 HCAPLUS

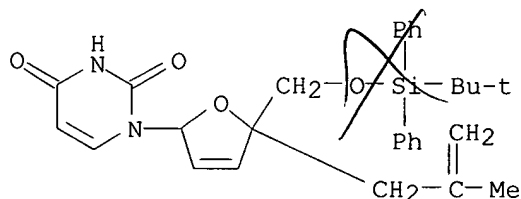
CN Uridine, 2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-(2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 142468-68-6 HCAPLUS

CN Uridine, 2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-(2-methyl-2-propenyl)- (9CI) (CA INDEX NAME)

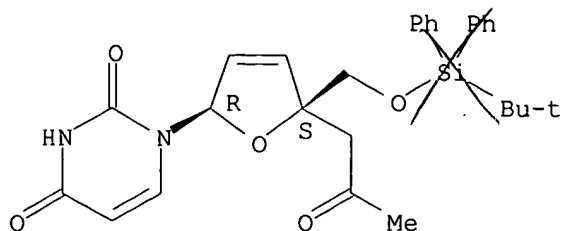


RN 142468-69-7 HCAPLUS

CN Uridine, 2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-(2-oxopropyl)- (9CI) (CA INDEX NAME)

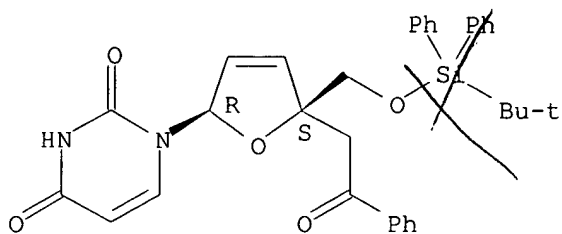
Absolute stereochemistry.

10/781,305



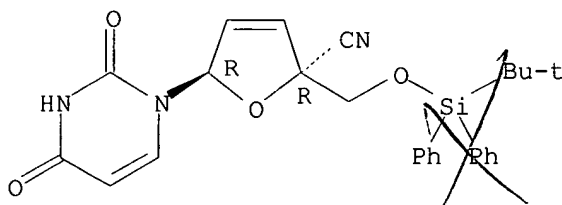
RN 142468-70-0 HCAPLUS
CN Uridine, 2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-(2-oxo-2-phenylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



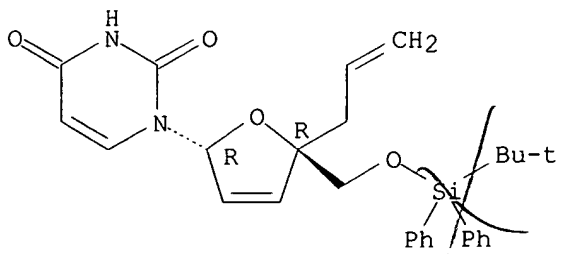
RN 142468-72-2 HCAPLUS
CN Uridine, 4'-cyano-2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)diphenylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 142560-91-6 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-(2-propenyl)-2-furanyl]-, (2R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



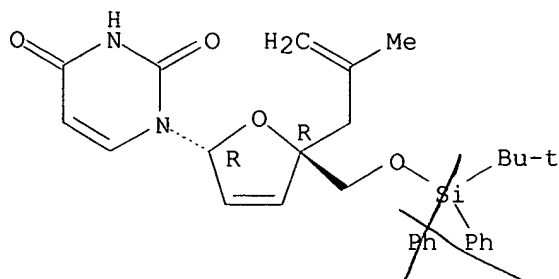
McIntosh

10/781,305

RN 142560-92-7 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-(2-methyl-2-propenyl)-2-furanyl]-, (2R-trans)- (9CI)
(CA INDEX NAME)

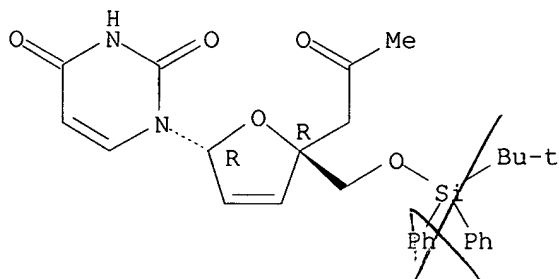
Absolute stereochemistry.



RN 142560-93-8 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-(2-oxopropyl)-2-furanyl]-, (2R-trans)- (9CI) (CA INDEX NAME)

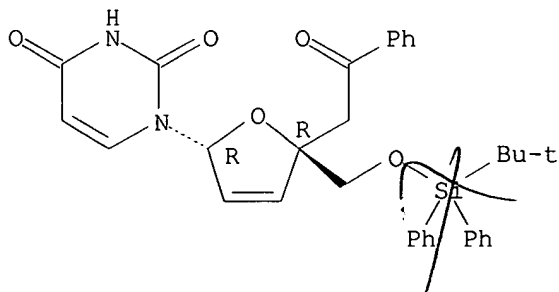
Absolute stereochemistry.



RN 142560-94-9 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-(2-oxo-2-phenylethyl)-2-furanyl]-, (2R-trans)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



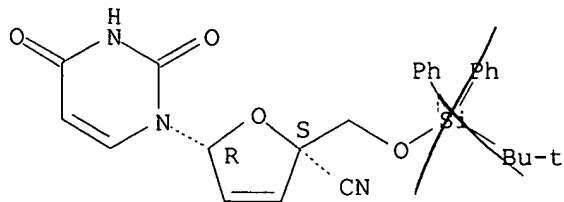
RN 142560-96-1 HCAPLUS

CN 2-Furancarbonitrile, 5-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-2-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-, (2S-trans)- (9CI)
(CA INDEX NAME)

McIntosh

10/781,305

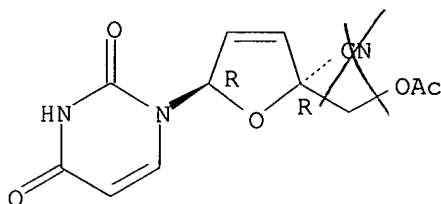
Absolute stereochemistry.



RN 145668-71-9 HCAPLUS

CN Uridine, 4'-cyano-2',3'-didehydro-2',3'-dideoxy-, 5'-acetate (9CI) (CA INDEX NAME)

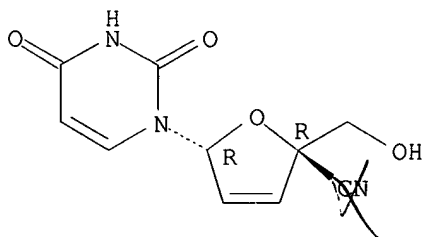
Absolute stereochemistry.



RN 153298-97-6 HCAPLUS

CN 2-Furancarboxitrile, 5-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-2,5-dihydro-2-(hydroxymethyl)-, (2R-cis)- (9CI) (CA INDEX NAME)

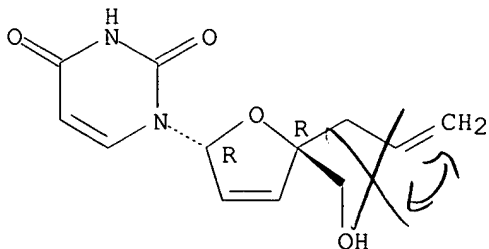
Absolute stereochemistry.



RN 153298-99-8 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-dihydro-5-(hydroxymethyl)-5-(2-propenyl)-2-furanyl]-, (2R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



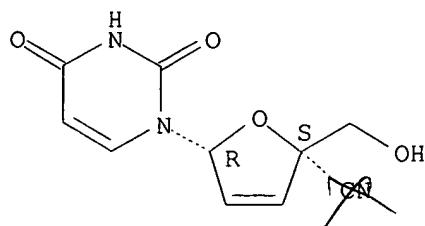
RN 153380-73-5 HCAPLUS

McIntosh

10/781,305

CN 2-Furancarboxitrile, 5-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-2,5-dihydro-2-(hydroxymethyl)-, (2S-trans)- (9CI) (CA INDEX NAME)

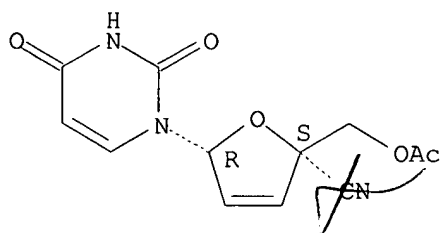
Absolute stereochemistry.



RN 153380-74-6 HCAPLUS

CN 2-Furancarboxitrile, 2-[(acetyloxy)methyl]-5-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-2,5-dihydro-, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 18 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1994:94832 HCAPLUS

DN 120:94832

TI Rational design for potentially antitumor and/or antiviral nucleosides

AU Ohnishi, Hiroshi; Waga, Toshiaki; Miyakawa, Isao; Ueno, Akihiro; Meguro, Hiroshi

CS Fac. Agric., Tohoku Univ., Aobaku, 981, Japan

SO Nucleic Acids Symposium Series (1993), 29(Second International Symposium on Nucleic Acids Chemistry), 93-4

CODEN: NACSD8; ISSN: 0261-3166

DT Journal

LA English

AB Several 4'-C-Me nucleosides, pyranoid and furanoid nucleosides have been synthesized according to the authors' rational design for anti-tumor or/and anti-viral nucleosides, and the biol. activities of these nucleosides so far tested are described.

IT 151989-82-1

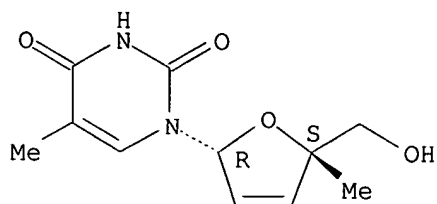
RL: BIOL (Biological study)
(HIV inhibition by)

RN 151989-82-1 HCAPLUS

CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-C-methyl- (9CI) (CA INDEX NAME)

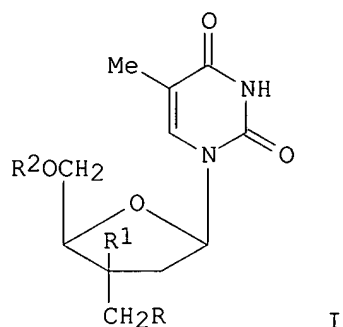
Absolute stereochemistry.

10/781,305



L12 ANSWER 19 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1994:54874 HCAPLUS
DN 120:54874
TI Synthesis of 1-(2,3-dideoxy-4-C-methyl- β -D-glycero-pent-2-enofuranosyl)thymine, 1-(2,3-dideoxy-4-C-methyl- β -D-glycero-pentofuranosyl)thymine and 1-(4-C-azidomethyl-2-deoxy- β -D-threo-pentofuranosyl)thymine
AU Hrebabecky, Hubert; Holy, Antonin
CS Inst. Org. Chem. Biochem., Acad. Sci. Czech Republic, Prague, 166 10, Czech Rep.
SO Collection of Czechoslovak Chemical Communications (1993), 58(7), 1668-74
CODEN: CCCCAK; ISSN: 0010-0765
DT Journal
LA English
GI

7rmed

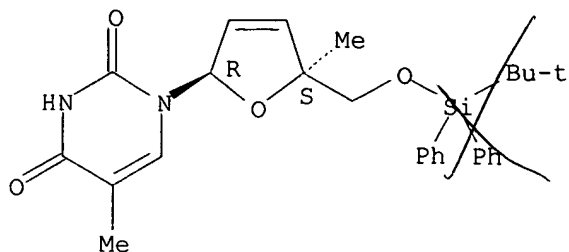


AB Title compds., e.g. I ($R = N_3$, OH $R_2 = H$; $R-R_2 = H$), were prepared from I ($R = OH$, $R_1 = OR_3$, $R_2R_3 = CMe_2$) and tested in vitro on inhibitory activity against replication of HIV-1 and HSV-2 in CEM and MT-4 cells.
IT 151989-81-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and desilylation of)
RN 151989-81-0 HCAPLUS
CN Thymidine, 2',3'-didehydro-3'-deoxy-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

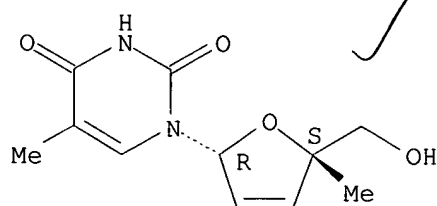
McIntosh

10/781,305



IT 151989-82-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and reduction of)
RN 151989-82-1 HCAPLUS
CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-C-methyl- (9CI) (CA INDEX NAME)

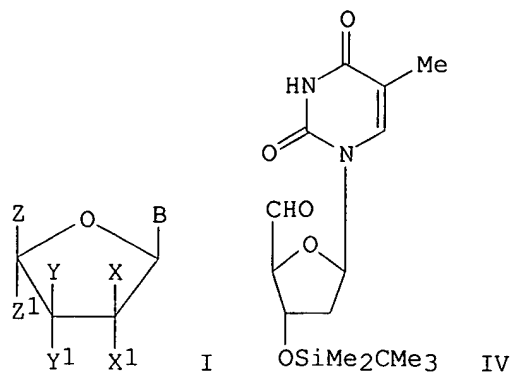
Absolute stereochemistry.



L12 ANSWER 20 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1993:539703 HCAPLUS
DN 119:139703
TI Preparation of antiviral 4'-substituted nucleosides
IN O-Yang, Counde; Walker, Keith A. M.; Kurz, Walter; Wu, Helen Y.
PA Syntex (U.S.A.) Inc., USA
SO U.S., 29 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO..	DATE
PI	US 5192749	A	19930309	US 1990-526485	19900521
PRAI	US 1990-526485		19900521		
OS	MARPAT 119:139703				
GI					

McIntosh



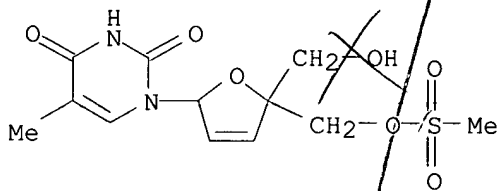
AB Nucleosides I [B = purinyl, pyrimidinyl, X = X1 = H; Y = H; Y1 = OH, H; Y1X1 = bond, Z = HO[P(O)(OH)O]_nCH₂, HOPH(O)OCH₂, n = 0-3; Y1Z = cyclic phosphate, Z1 = cyano, Me, CH₂N₃, CH₂J, J = halo; Z1Y1 = CH₂O] and their pharmaceutically acceptable esters, ethers, amides, N-acyl moieties and salts were prepared as virucides including HIV inhibition (no data). Thus, 4'-methylthymidine (II) and its 4'-isomer (III) were prepared from 5'-O-(dimethoxytrityl)thymidine via silylation, detritylation, and oxidation to give the pentodialdofuranosylthymine IV. Hydroxymethylation of IV followed by mesylation and iodination gave the 4'-epimers of I (B = thyminyl, X = X1 = Y = H, Y1 = OSiMe₂CMe₃, Z, Z1 = CH₂OH, CH₂I). Hydrogenolysis/deiodination of the latter followed by desilylation gave II and III.

IT 139926-02-6P 140145-76-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and azidolysis of)

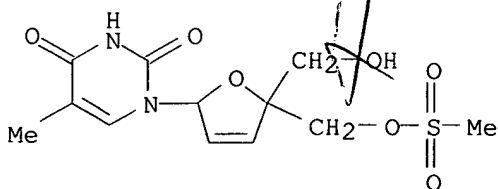
RN 139926-02-6 HCAPLUS

CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-[[(methylsulfonyl)oxy]methyl]- (9CI) (CA INDEX NAME)



RN 140145-76-2 HCAPLUS

CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-(hydroxymethyl)-, 5'-methanesulfonate (9CI) (CA INDEX NAME)



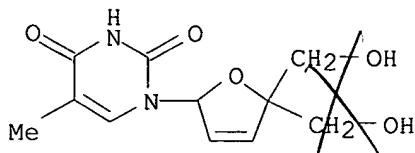
10/781,305

IT 139926-01-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and mesylation of)

RN 139926-01-5 HCAPLUS

CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-(hydroxymethyl)- (9CI) (CA INDEX NAME)

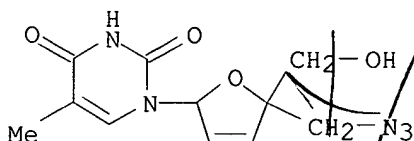


IT 139926-03-7P 140145-77-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiviral agent in AIDS treatment)

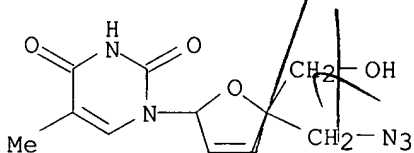
RN 139926-03-7 HCAPLUS

CN Thymidine, 4'-(azidomethyl)-2',3'-didehydro-3'-deoxy- (9CI) (CA INDEX NAME)



RN 140145-77-3 HCAPLUS

CN Thymidine, 5'-azido-2',3'-didehydro-2',3',5'-trideoxy-4'-(hydroxymethyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 21 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1993:473008 HCAPLUS

DN 119:73008

TI Synthesis of 1-[3-azido-2,3-dideoxy-4-C-(hydroxymethyl)- α -L-threo-pentofuranosyl]thymine, 1-[2,3-dideoxy-4-C-(hydroxymethyl)- α -L-glycero-pentofuranosyl]thymine, and 1-[2,3-dideoxy-4-C-(hydroxymethyl)- α -L-glycero-pent-2-enofuranosyl]thymine

AU Hrebabecky, Hubert; Holy, Antonin

CS Inst. Org. Chem. Biochem., Prague, 166 10, Czech.

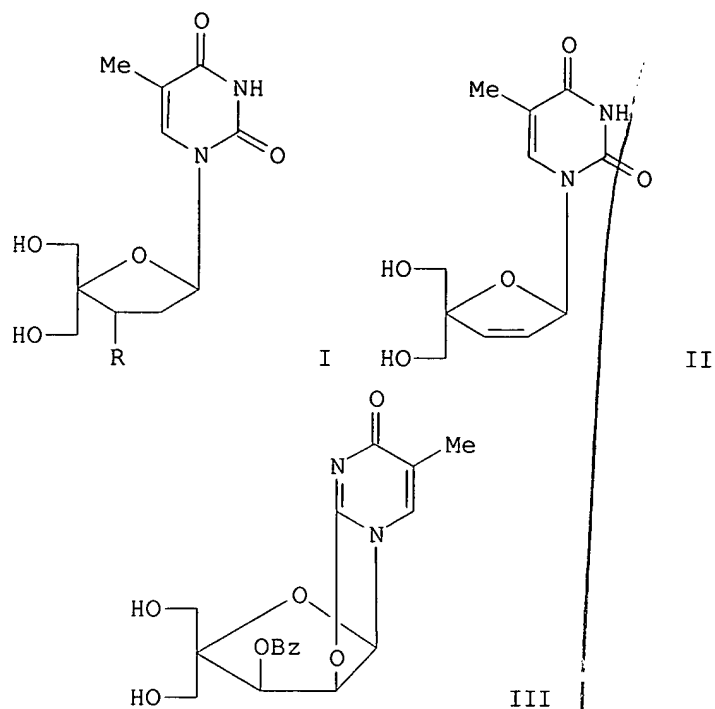
SO Collection of Czechoslovak Chemical Communications (1993), 58(2), 409-20
CODEN: CCCCAK; ISSN: 0010-0765

DT Journal

LA English

GI

McIntosh



AB Title compds. I and II (R = H, N3) were prepared from 1-(2-O-Acetyl-3,5-di-O-benzoyl-4-C-benzoyloxymethyl- α -L-arabinofuranosyl)thymine via intermediate anhydro nucleoside III.

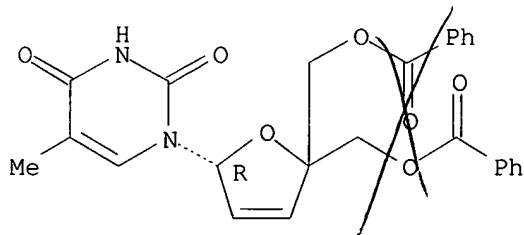
IT 148704-71-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and debenzoylation of)

RN 148704-71-6 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5,5-bis[(benzoyloxy)methyl]-2,5-dihydro-2-furanyl]-5-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



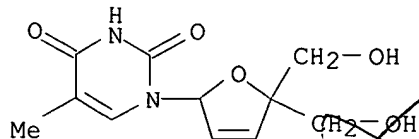
IT 139926-01-5P 148704-72-7P 148704-73-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 139926-01-5 HCAPLUS

CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-(hydroxymethyl)- (9CI) (CA INDEX NAME)

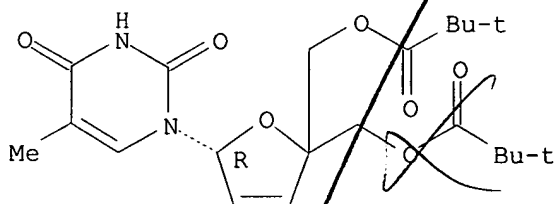
10/781,305



RN 148704-72-7 HCAPLUS

CN Propanoic acid, 2,2-dimethyl-, [5-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-2(5H)-furanylidene]bis(methylene) ester, (R)- (9CI) (CA INDEX NAME)

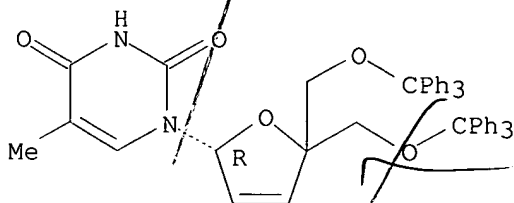
Absolute stereochemistry.



RN 148704-73-8 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-dihydro-5,5-bis[(triphenylmethoxy)methyl]-2-furanyl]-5-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 22 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1993:70688 HCAPLUS

DN 118:70688

TI Structure of a 4'-C-branched 2',3'-didehydro-2',3'-dideoxyuridine

AU Yamaguchi, Kentaro; Haraguchi, Kazuhiro; Tanaka, Hiromichi; Itho, Yoshiharu; Miyasaka, Tadashi

CS Sch. Pharm. Sci., Showa Univ., Tokyo, 142, Japan

SO Acta Crystallographica, Section C: Crystal Structure Communications (1992), C48(12), 2277-8

CODEN: ACSCEE; ISSN: 0108-2701

DT Journal

LA English

AB 4'-Cyano-2',3'-didehydro-2',3'-dideoxyuridine 5'-acetate is monoclinic, space group P21, with a 14.870(1), b 5.411(1), c 8.150(1) Å. and

β 95.71(2)°; Z = 2, dc = 1.411; R = 0.047, Rw = 0.046 for 1056

reflections. Atomic coordinates are given. The N-glucoside torsion angle χ has a value of -82.7(3)° in the anti range. The C4'-C5'

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side-chain conformation is +sc with $\gamma = 47.2(4)^\circ$. The sugar ring is essentially planar. The conformational parameters are in accordance with the IUPAC-IUB Joint Commission on Biochem. Nomenclature guidelines.

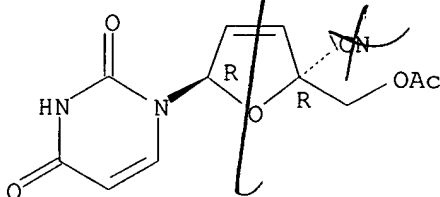
IT 145668-71-9

RL: PRP (Properties)
(crystal structure of)

RN 145668-71-9 HCAPLUS

CN Uridine, 4'-cyano-2',3'-didehydro-2',3'-dideoxy-, 5'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 23 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1992:470218 HCAPLUS

DN 117:70218

TI Stereoselective synthesis of 4'-C-branched 2',3'-didehydro-2',3'-dideoxy nucleosides based on tin tetrachloride-promoted allylic rearrangement

AU Haraguchi, Kazuhiro; Tanaka, Hiromichi; Itoh, Yoshiharu; Saito, Shigeru; Miyasaka, Tadashi

CS Sch. Pharm. Sci., Showa Univ., Tokyo, 142, Japan

SO Tetrahedron Letters (1992), 33(20), 2841-4

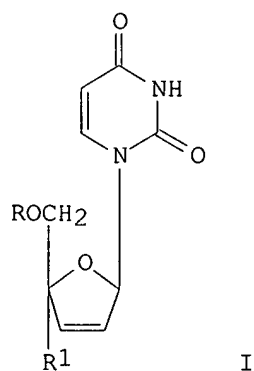
CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA English

OS CASREACT 117:70218

GI



AB Based on SnCl_4 -promoted allylic rearrangement between a 3',4'-unsatd. uracil nucleoside and organosilicon reagents, stereoselective introduction

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of carbon functionalities to the 4'-position has been accomplished, disclosing a new entry for a series of 4'-C-branched nucleosides, e.g. I (R = Me₃CPh₂Si, R₁ = CH₂CH:CH₂, CH₂CMe:CH₂, CN, phenacyl), of biol. interest.

IT 142468-65-3P

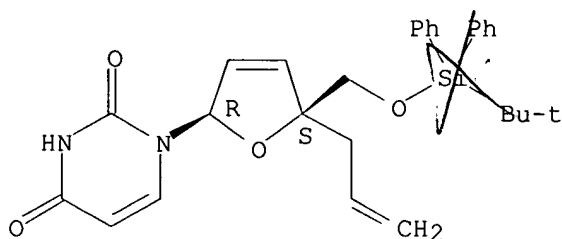
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and desilylation of)

RN 142468-65-3 HCAPLUS

CN Uridine, 2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-(2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 142468-66-4P 142468-68-6P 142468-69-7P

142468-70-0P 142468-72-2P 142560-91-6P

142560-92-7P 142560-93-8P 142560-94-9P

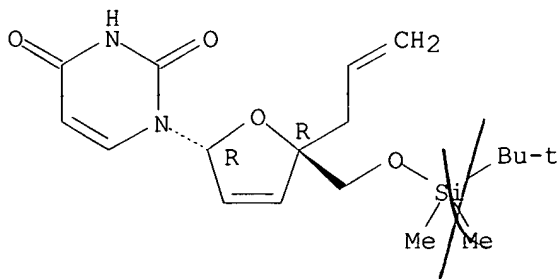
142560-96-1P 142562-05-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 142468-66-4 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,5-dihydro-5-(2-propenyl)-2-furanyl]-, (2R-trans)- (9CI) (CA INDEX NAME)

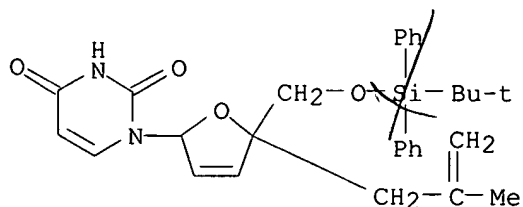
Absolute stereochemistry.



RN 142468-68-6 HCAPLUS

CN Uridine, 2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-(2-methyl-2-propenyl)- (9CI) (CA INDEX NAME)

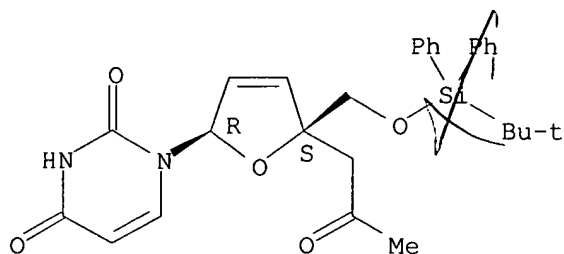
10/781,305



RN 142468-69-7 HCAPLUS

CN Uridine, 2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-(2-oxopropyl)- (9CI) (CA INDEX NAME)

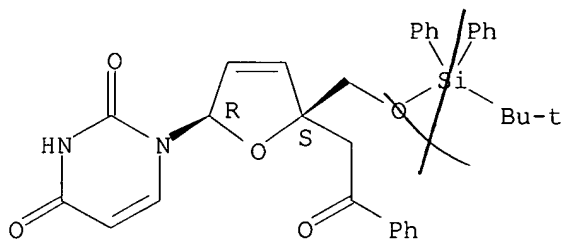
Absolute stereochemistry.



RN 142468-70-0 HCAPLUS

CN Uridine, 2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-(2-oxo-2-phenylethyl)- (9CI) (CA INDEX NAME)

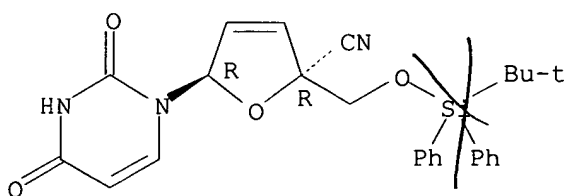
Absolute stereochemistry.



RN 142468-72-2 HCAPLUS

CN Uridine, 4'-cyano-2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)diphenylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 142560-91-6 HCAPLUS

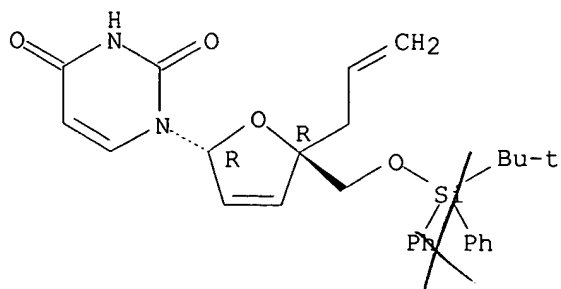
CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]m

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ethyl]-2,5-dihydro-5-(2-propenyl)-2-furanyl]-, (2R-trans)- (9CI) (CA
INDEX NAME)

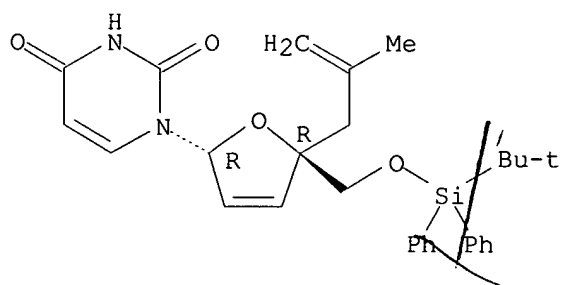
Absolute stereochemistry.



RN 142560-92-7 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-(2-methyl-2-propenyl)-2-furanyl]-, (2R-trans)- (9CI)
(CA INDEX NAME)

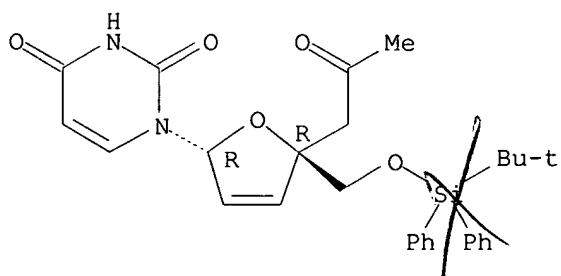
Absolute stereochemistry.



RN 142560-93-8 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-(2-oxopropyl)-2-furanyl]-, (2R-trans)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.



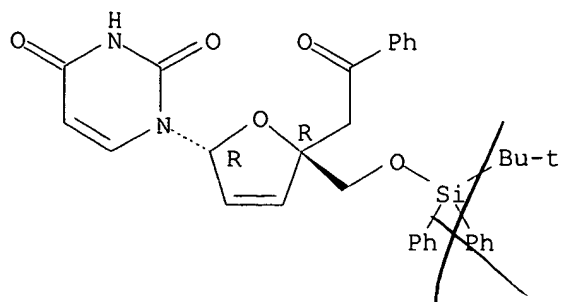
RN 142560-94-9 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-5-(2-oxo-2-phenylethyl)-2-furanyl]-, (2R-trans)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

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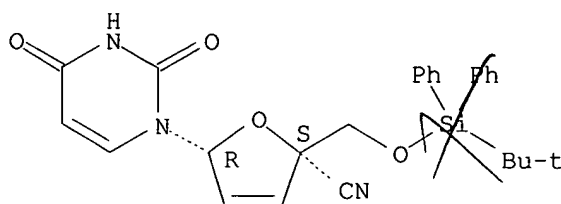
10/781,305



RN 142560-96-1 HCAPLUS

CN 2-Furancarboxitrile, 5-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-2-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,5-dihydro-, (2S-trans)- (9CI)
(CA INDEX NAME)

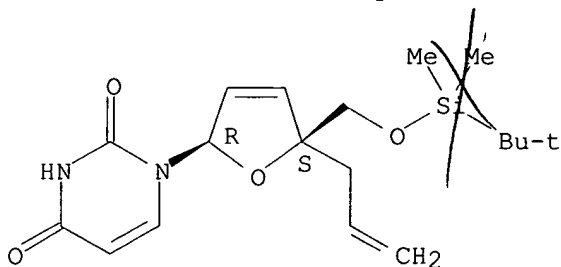
Absolute stereochemistry.



RN 142562-05-8 HCAPLUS

CN Uridine, 2',3'-didehydro-2',3'-dideoxy-5'-O-[(1,1-dimethylethyl)dimethylsilyl]-4'-(2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 24 OF 24 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1992:174641 HCAPLUS

DN 116:174641

TI 4'-Substituted nucleosides as inhibitors of HIV: an unusual oxetane derivative

AU O-Yang, Counde; Kurz, Walter; Eugui, Elsie M.; McRoberts, Mary Jane; Verheyden, Julien P. H.; Kurz, Lilia J.; Walker, Keith A. M.

CS Syntex Res., Palo Alto, CA, 94304, USA

SO Tetrahedron Letters (1992), 33(1), 41-4

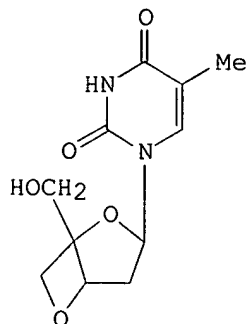
CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA English

GI

McIntosh



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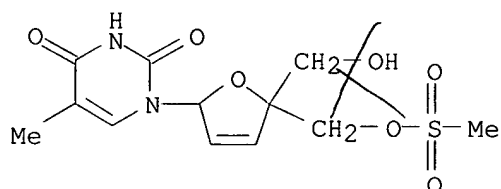
AB A number of derivs. of 4'-hydroxymethylthymidine were prepared The fused oxetane derivative I inhibited HIV replication in A301 (Alex) cells with remarkably low bone marrow toxicity.

IT 139926-02-6P 140145-76-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and azidolysis of)

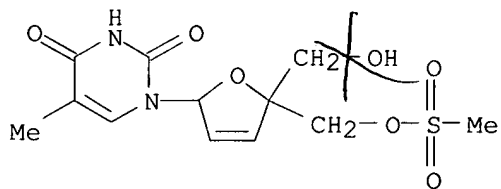
RN 139926-02-6 HCAPLUS

CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-[[(methylsulfonyl)oxy]methyl] - (9CI) (CA INDEX NAME)



RN 140145-76-2 HCAPLUS

CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-(hydroxymethyl)-, 5'-methanesulfonate (9CI) (CA INDEX NAME)



IT 139926-03-7P 140145-77-3P

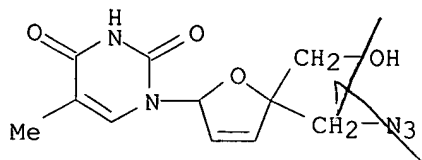
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and virucidal activity of)

RN 139926-03-7 HCAPLUS

CN Thymidine, 4'-(azidomethyl)-2',3'-didehydro-3'-deoxy- (9CI) (CA INDEX NAME)

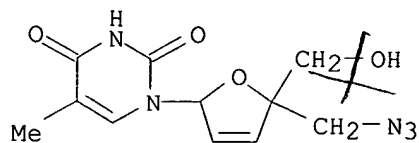
10/781,305

NAME)



RN 140145-77-3 HCAPLUS

CN Thymidine, 5'-azido-2',3'-didehydro-2',3',5'-trideoxy-4'-(hydroxymethyl)-
(9CI) (CA INDEX NAME)



IT 139926-01-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, partial mesylation, and virucidal activity of)

RN 139926-01-5 HCAPLUS

CN Thymidine, 2',3'-didehydro-3'-deoxy-4'-(hydroxymethyl)- (9CI) (CA INDEX
NAME)

